Ward, P.
10/663335



FILE 'REGISTRY' ENTERED AT 12:12:10 ON 15 MAR 2006


Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 14 MAR 2006 HIGHEST RN 876856-38-1
DICTIONARY FILE UPDATES: 14 MAR 2006 HIGHEST RN 876856-38-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

**********
* *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
* *
**********

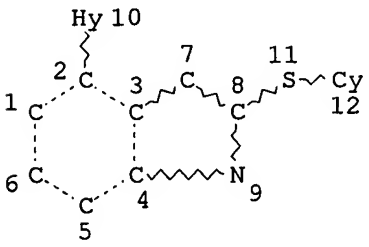
Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

L1 STR

Str.




NODE ATTRIBUTES:
CONNECT IS X3 RC AT 7
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

Searcher : Shears 571-272-2528

L2 34 SEA FILE=REGISTRY SSS FUL L1

100.0% PROCESSED 8713 ITERATIONS 34 ANSWERS
SEARCH TIME: 00.00.01

FILE 'CAPLUS' ENTERED AT 12:12:10 ON 15 MAR 2006


FILE COVERS 1907 - 15 Mar 2006 VOL 144 ISS 12
FILE LAST UPDATED: 14 Mar 2006 (20060314/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

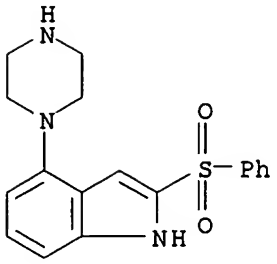
L3 3 L2

L3 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:470334 CAPLUS
DOCUMENT NUMBER: 143:125834
TITLE: A Three-Dimensional Pharmacophore Model for 5-Hydroxytryptamine6 (5-HT6) Receptor Antagonists
AUTHOR(S): Lopez-Rodriguez, Maria L.; Benhamu, Bellinda; de la Fuente, Tania; Sanz, Arantxa; Pardo, Leonardo; Campillo, Mercedes
CORPORATE SOURCE: Departamento de Quimica Organica I, Facultad de Ciencias Quimicas, Universidad Complutense, Madrid, E-28040, Spain
SOURCE: Journal of Medicinal Chemistry (2005), 48(13), 4216-4219
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Forty-five structurally diverse 5-hydroxytryptamine6 receptor (5-HT6R) antagonists were selected to develop a 3D pharmacophore model with the Catalyst software. The structural features for antagonism at this receptor are a pos. ionizable atom interacting with Asp3.32, a hydrogen bond acceptor group interacting with Ser5.43 and Asn6.55, a hydrophobic site interacting with residues in a hydrophobic pocket between transmembranes 3, 4, and 5, and an aromatic-ring hydrophobic site interacting with Phe6.52.
IT **676448-24-1**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(three-dimensional pharmacophore model for 5-HT6 receptor antagonists)

RN 676448-24-1 CAPLUS

CN 1H-Indole, 2-(phenylsulfonyl)-4-(1-piperazinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:267300 CAPLUS

DOCUMENT NUMBER: 140:303525

TITLE: Preparation of 2,4-substituted indoles as 5-HT6 modulators

INVENTOR(S): Madera, Ann Marie; Weikert, Robert James

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.

SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

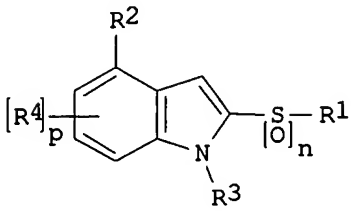
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004026831 | A1 | 20040401 | WO 2003-EP9969 | 20030908 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2498946 | AA | 20040401 | CA 2003-2498946 | 20030908 |
| AU 2003267063 | A1 | 20040408 | AU 2003-267063 | 20030908 |
| EP 1542973 | A1 | 20050622 | EP 2003-747986 | 20030908 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| BR 2003014363 | A | 20050719 | BR 2003-14363 | 20030908 |
| JP 2006502177 | T2 | 20060119 | JP 2004-537019 | 20030908 |
| US 2004072844 | A1 | 20040415 | US 2003-663335 | 20030916 |

NO 2005000664 A 20050415 NO 2005-664 20050208
PRIORITY APPLN. INFO.: US 2002-411480P P 20020917
WO 2003-EP9969 W 20030908

OTHER SOURCE(S): MARPAT 140:303525
GI



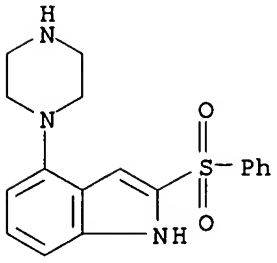
I

AB The title compds. [I; n = 0-2; p = 1-2; R1 = (un)substituted (hetero)aryl; R2 = (un)substituted heterocyclyl; R3 = H, alkyl, COR5 (wherein R5 = alkyl, alkoxy, aryl, aryloxy); R4 = H, OH, CN, alkyl, etc.], useful for treating or preventing a disease state that is alleviated by 5-HT6 agonists, were prepared E.g., a 3-step synthesis of I [n = 2; R1 = 2-FC6H4; R2 = piperazino; R3, R4 = H], was given. The compds. I were tested and found to have selective 5-HT6 receptor affinity. Activities for representative compds. I were given. The pharmaceutical composition comprising the compound I is claimed.

IT **676448-24-1P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 2,4-substituted indoles for treating or preventing a disease state that is alleviated by 5-HT6 agonists)

RN 676448-24-1 CAPLUS

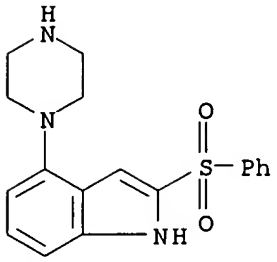
CN 1H-Indole, 2-(phenylsulfonyl)-4-(1-piperazinyl)- (9CI) (CA INDEX NAME)



IT **676447-83-9P 676447-85-1P 676447-86-2P**
**676447-88-4P 676447-89-5P 676447-91-9P**
**676447-93-1P 676447-95-3P 676447-97-5P**
**676447-98-6P 676448-00-3P 676448-01-4P**
**676448-02-5P 676448-03-6P 676448-04-7P**
**676448-05-8P 676448-06-9P 676448-07-0P**
**676448-08-1P 676448-09-2P 676448-10-5P**
**676448-11-6P 676448-12-7P 676448-25-2P**

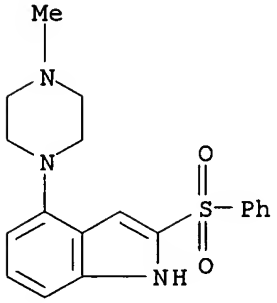
**676448-26-3P 676448-27-4P 676448-28-5P**
**676448-29-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2,4-substituted indoles for treating or preventing a disease state that is alleviated by 5-HT6 agonists)

RN 676447-83-9 CAPLUS
CN 1H-Indole, 2-(phenylsulfonyl)-4-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

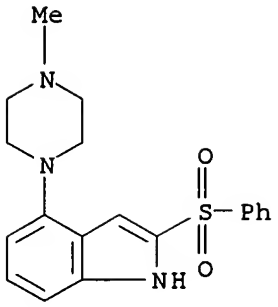
RN 676447-85-1 CAPLUS
CN 1H-Indole, 4-(4-methyl-1-piperazinyl)-2-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



RN 676447-86-2 CAPLUS
CN 1H-Indole, 4-(4-methyl-1-piperazinyl)-2-(phenylsulfonyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

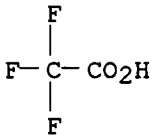
CM 1

CRN 676447-85-1
CMF C19 H21 N3 O2 S

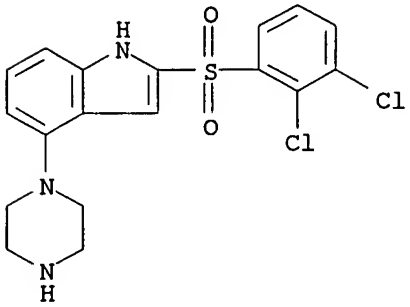


CM 2

CRN 76-05-1
CMF C2 H F3 O2



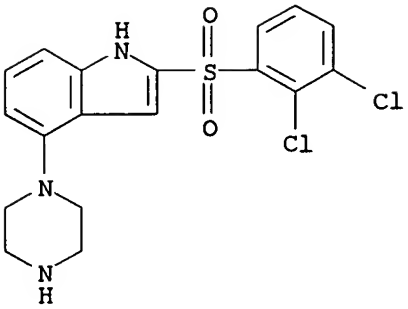
RN 676447-88-4 CAPLUS
CN 1H-Indole, 2-[(2,3-dichlorophenyl)sulfonyl]-4-(1-piperazinyl)- (9CI) (CA INDEX NAME)



RN 676447-89-5 CAPLUS
CN 1H-Indole, 2-[(2,3-dichlorophenyl)sulfonyl]-4-(1-piperazinyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

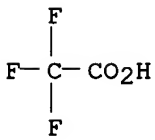
CM 1

CRN 676447-88-4
CMF C18 H17 Cl2 N3 O2 S

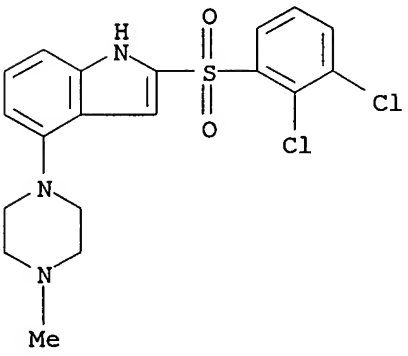


CM 2

CRN 76-05-1
CMF C2 H F3 O2

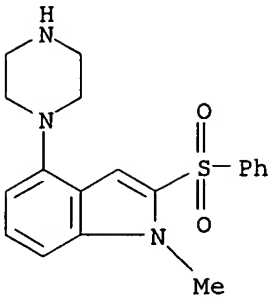


RN 676447-91-9 CAPLUS
CN 1H-Indole, 2-[(2,3-dichlorophenyl)sulfonyl]-4-(4-methyl-1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



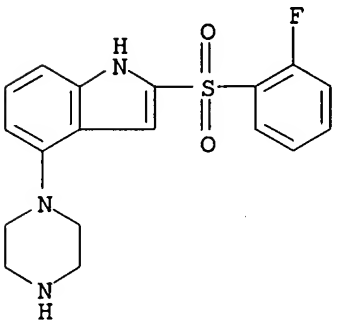
● HCl

RN 676447-93-1 CAPLUS
CN 1H-Indole, 1-methyl-2-(phenylsulfonyl)-4-(1-piperazinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



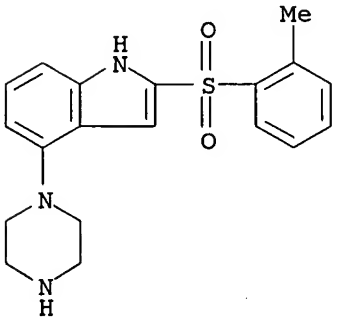
●2 HCl

RN 676447-95-3 CAPLUS
CN 1H-Indole, 2-[(2-fluorophenyl)sulfonyl]-4-(1-piperazinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 676447-97-5 CAPLUS
CN 1H-Indole, 2-[(2-methylphenyl)sulfonyl]-4-(1-piperazinyl)- (9CI) (CA INDEX NAME)

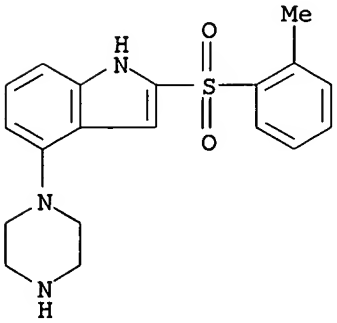


RN 676447-98-6 CAPLUS

CN 1H-Indole, 2-[(2-methylphenyl)sulfonyl]-4-(1-piperazinyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

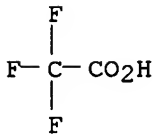
CM 1

CRN 676447-97-5
CMF C19 H21 N3 O2 S

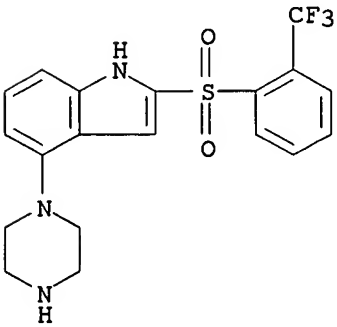


CM 2

CRN 76-05-1
CMF C2 H F3 O2



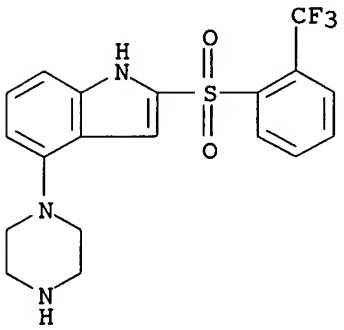
RN 676448-00-3 CAPLUS
CN 1H-Indole, 4-(1-piperazinyl)-2-[[2-(trifluoromethyl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 676448-01-4 CAPLUS
CN 1H-Indole, 4-(1-piperazinyl)-2-[[2-(trifluoromethyl)phenyl]sulfonyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

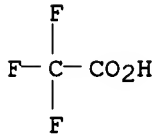
CM 1

CRN 676448-00-3
CMF C19 H18 F3 N3 O2 S

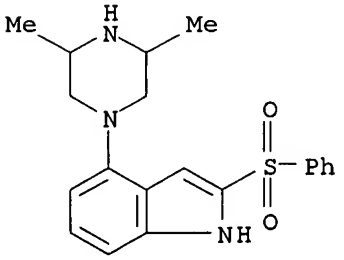


CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 676448-02-5 CAPLUS
CN 1H-Indole, 4-(3,5-dimethyl-1-piperazinyl)-2-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



RN 676448-03-6 CAPLUS
CN 1H-Indole, 4-(3,5-dimethyl-1-piperazinyl)-2-(phenylsulfonyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

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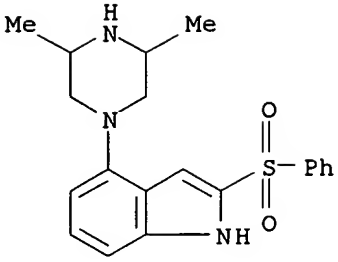
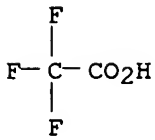
CRN 676448-02-5
CMF C20 H23 N3 O2 S

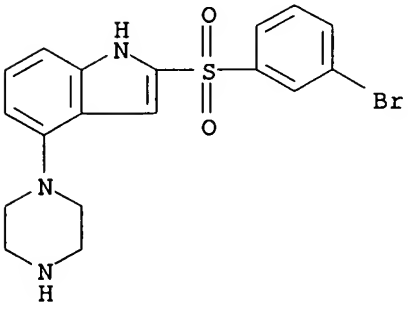


CM 2

CRN 76-05-1
CMF C2 H F3 O2



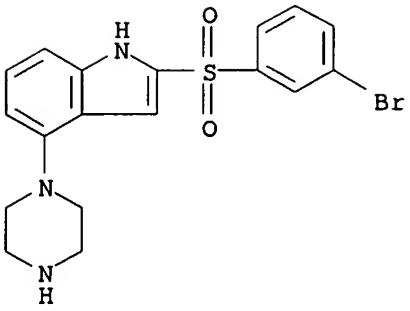
RN 676448-04-7 CAPLUS
CN 1H-Indole, 2-[(3-bromophenyl)sulfonyl]-4-(1-piperazinyl)- (9CI) (CA INDEX NAME)



RN 676448-05-8 CAPLUS
CN 1H-Indole, 2-[(3-bromophenyl)sulfonyl]-4-(1-piperazinyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

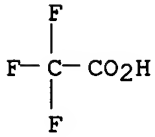
CM 1

CRN 676448-04-7
CMF C18 H18 Br N3 O2 S

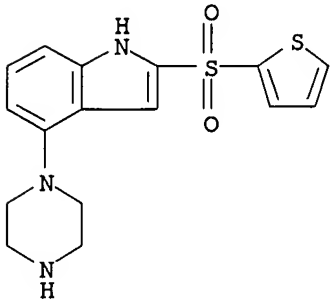


CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 676448-06-9 CAPLUS
CN 1H-Indole, 4-(1-piperazinyl)-2-(2-thienylsulfonyl)- (9CI) (CA INDEX NAME)



RN 676448-07-0 CAPLUS
CN 1H-Indole, 4-(1-piperazinyl)-2-(2-thienylsulfonyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

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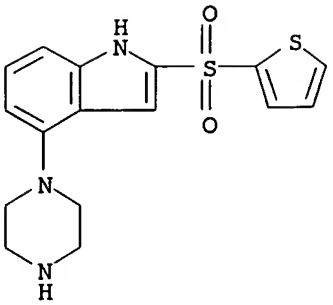
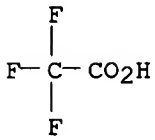
CRN 676448-06-9
CMF C16 H17 N3 O2 S2

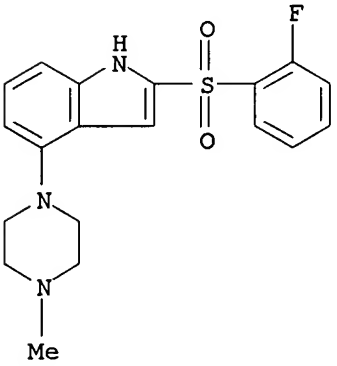


CM 2

CRN 76-05-1
CMF C2 H F3 O2

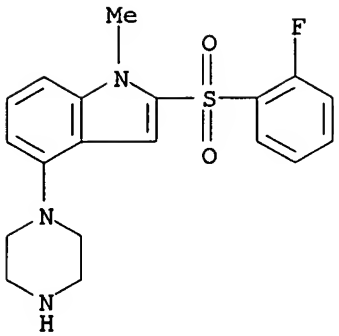


RN 676448-08-1 CAPLUS
CN 1H-Indole, 2-[(2-fluorophenyl)sulfonyl]-4-(4-methyl-1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

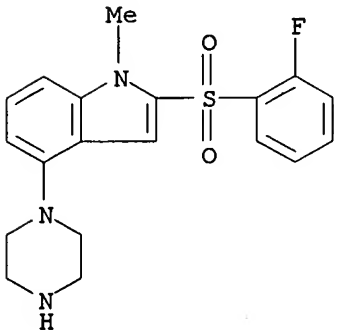
RN 676448-09-2 CAPLUS
CN 1H-Indole, 2-[(2-fluorophenyl)sulfonyl]-1-methyl-4-(1-piperazinyl)- (9CI) (CA INDEX NAME)



RN 676448-10-5 CAPLUS
CN 1H-Indole, 2-[(2-fluorophenyl)sulfonyl]-1-methyl-4-(1-piperazinyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

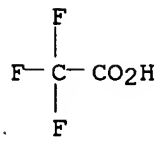
CM 1

CRN 676448-09-2
CMF C19 H20 F N3 O2 S

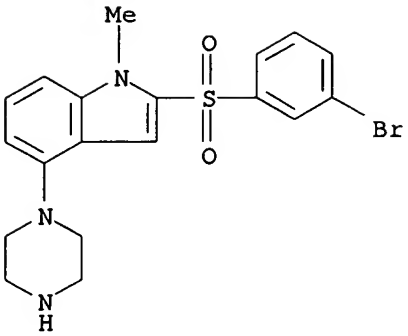


CM 2

CRN 76-05-1
CMF C2 H F3 O2

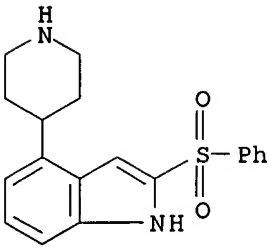


RN 676448-11-6 CAPLUS
CN 1H-Indole, 2-[(3-bromophenyl)sulfonyl]-1-methyl-4-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

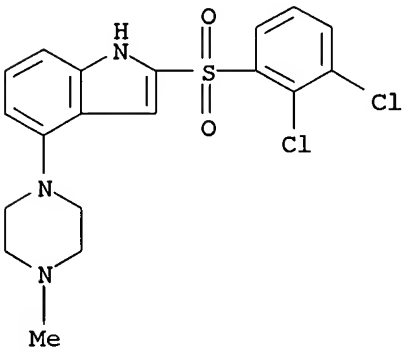


● HCl

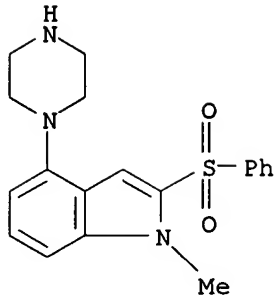
RN 676448-12-7 CAPLUS
CN 1H-Indole, 2-(phenylsulfonyl)-4-(4-piperidinyl)- (9CI) (CA INDEX NAME)



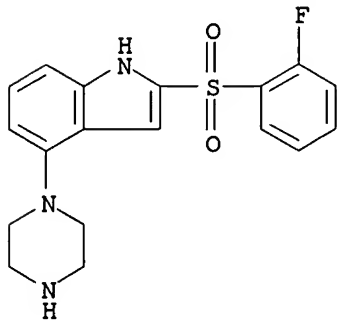
RN 676448-25-2 CAPLUS
CN 1H-Indole, 2-[(2,3-dichlorophenyl)sulfonyl]-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



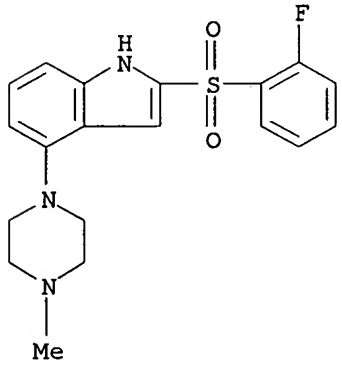
RN 676448-26-3 CAPLUS
CN 1H-Indole, 1-methyl-2-(phenylsulfonyl)-4-(1-piperazinyl)- (9CI) (CA INDEX NAME)



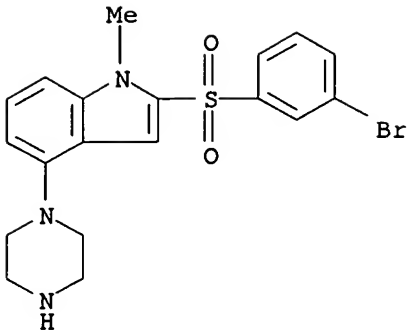
RN 676448-27-4 CAPLUS
CN 1H-Indole, 2-[(2-fluorophenyl)sulfonyl]-4-(1-piperazinyl)- (9CI) (CA INDEX NAME)



RN 676448-28-5 CAPLUS
CN 1H-Indole, 2-[(2-fluorophenyl)sulfonyl]-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

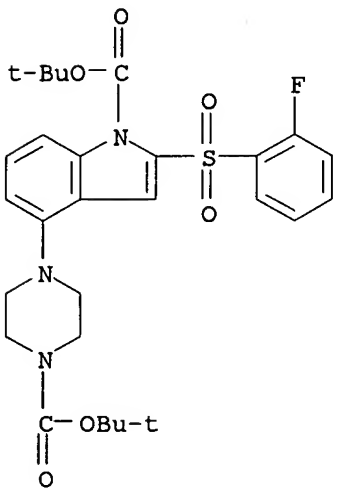


RN 676448-29-6 CAPLUS
CN 1H-Indole, 2-[(3-bromophenyl)sulfonyl]-1-methyl-4-(1-piperazinyl)- (9CI) (CA INDEX NAME)

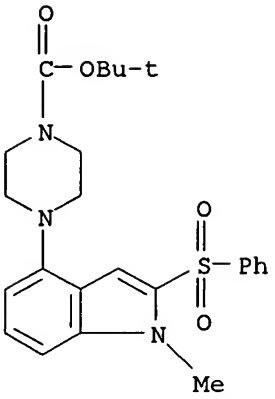


IT **676448-14-9P 676448-16-1P 676448-23-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 2,4-substituted indoles for treating or preventing a disease state that is alleviated by 5-HT6 agonists)

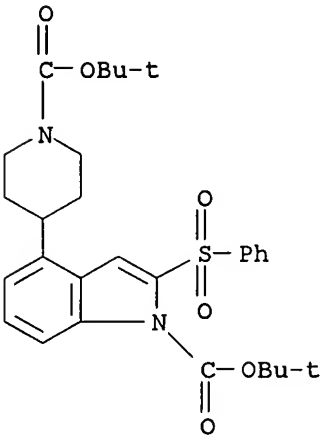
RN 676448-14-9 CAPLUS
CN 1H-Indole-1-carboxylic acid, 4-[4-[(1,1-dimethylethoxy)carbonyl]-1-piperazinyl]-2-[(2-fluorophenyl)sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 676448-16-1 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[1-methyl-2-(phenylsulfonyl)-1H-indol-4-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 676448-23-0 CAPLUS
CN 1H-Indole-1-carboxylic acid, 4-[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]-2-(phenylsulfonyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1996:712944 CAPLUS
DOCUMENT NUMBER: 126:26455
TITLE: Mechanism of Selective Incorporation of the Melanoma Seeker 2-Thiouracil into Growing Melanin
AUTHOR(S): Napolitano, Alessandra; Palumbo, Anna; d'Ischia, Marco; Prota, Giuseppe
CORPORATE SOURCE: Department of Organic and Biological Chemistry, University of Naples Federico II, Naples, I-80134, Italy
SOURCE: Journal of Medicinal Chemistry (1996), 39(26), 5192-5201
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal

Searcher : Shears 571-272-2528

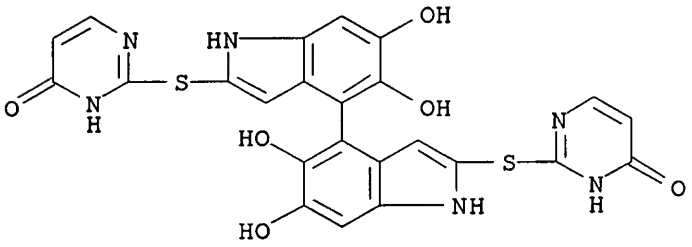
LANGUAGE: English

AB The mechanism of selective incorporation of 2-thiouracil (TU), a highly specific melanoma seeker, into growing melanins was investigated both in vitro and in vivo. Methods used included direct anal. of the melanins, by evaluation of the absorption at 350 nm (A350) and chemical degradation coupled with HPLC quantitation of pigment markers, i.e., pyrrole-2,3-dicarboxylic acid (PDCA) and pyrrole-2,3,5-tricarboxylic acid (PTCA), as well as biosynthetic expts. involving tyrosinase-catalyzed oxidation of DOPA, 5,6-dihydroxyindole (DHI), and 5,6-dihydroxyindole-2-carboxylic acid (DHICA). Injection of radiolabeled TU into melanoma-bearing mice resulted in a rapid incorporation of the drug into the tumor pigment, with a substantial decrease in A350 and in PTCA yields. Similar changes in the absorption properties were observed in biosynthetic melanins prepared in the presence of TU, whereas the yields of PTCA and PDCA varied depending on the pigment precursor used. When incubated with DOPA in the presence of tyrosinase, TU profoundly modified the normal course of melanogenesis, favoring formation of a complex mixture of addition products consisting mainly of 6-S-thiouracil-DOPA as well as DHI-TU adducts. The latter were obtained in larger amts. by enzymic oxidation of DHI in the presence of TU and were identified as the 3- and 2-substituted adducts, the dimer, and the trimer. Similar reactions carried out on DHICA yielded the 4-substituted adduct, the dimer, and the trimer. A new mechanistic scheme for the incorporation of TU into growing melanin is proposed, which envisages nucleophilic attack of the thioureylene moiety of TU to transient quinonoid intermediates in the melanin pathway, chiefly dopaquinone and 5,6-indolequinones, followed by entrainment of the resulting adducts into the growing pigment via oxidative copolymn. with DHICA and/or DHI.

IT **184846-16-0P 184846-17-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(mechanism of selective incorporation of melanoma seeker 2-thiouracil into growing melanin)

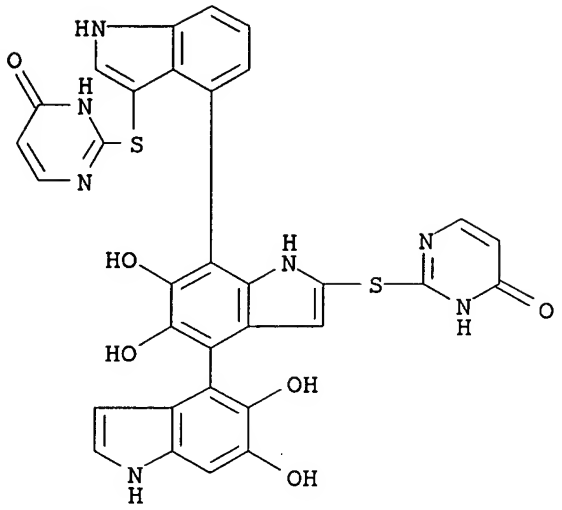
RN 184846-16-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2,2'-[(5,5',6,6'-tetrahydroxy[4,4'-bi-1H-indole]-2,2'-diyl)bis(thio)]bis- (9CI) (CA INDEX NAME)



RN 184846-17-1 CAPLUS

CN 4(1H)-Pyrimidinone, 2,2'-[(5,5',6,6'-tetrahydroxy[4,4':7',4''-ter-1H-indole]-2',3''-diyl)bis(thio)]bis- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

FILE 'CAOLD' ENTERED AT 12:12:22 ON 15 MAR 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

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L4 0 L2

FILE 'USPATFULL' ENTERED AT 12:12:40 ON 15 MAR 2006


FILE COVERS 1971 TO PATENT PUBLICATION DATE: 14 Mar 2006 (20060314/PD)
FILE LAST UPDATED: 14 Mar 2006 (20060314/ED)
HIGHEST GRANTED PATENT NUMBER: US7013485
HIGHEST APPLICATION PUBLICATION NUMBER: US2006053519
CA INDEXING IS CURRENT THROUGH 14 Mar 2006 (20060314/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 14 Mar 2006 (20060314/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2005
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Dec 2005

Searcher : Shears 571-272-2528

L5 1 L2

L5 ANSWER 1 OF 1 USPATFULL on STN
ACCESSION NUMBER: 2004:95389 USPATFULL
TITLE: 2,4-Substituted indoles and methods of use
INVENTOR(S): Madera, Ann Marie, Dublin, CA, UNITED STATES
Weikert, Robert James, Boulder Creek, CA, UNITED STATES
PATENT ASSIGNEE(S): Roche Palo Alto LLC, Palo Alto, CA (U.S. corporation)

| | NUMBER | KIND | DATE |
|---|---|---|---|
| PATENT INFORMATION: | US 2004072844 | A1 | 20040415 |
| APPLICATION INFO.: | US 2003-663335 | A1 | 20030916 (10) |

| | NUMBER | DATE |
|---|---|---|
| PRIORITY INFORMATION: | US 2002-411480P | 20020917 (60) |

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: ROCHE PALO ALTO LLC, PATENT LAW DEPT. M/S A2-250, 3431 HILLVIEW AVENUE, PALO ALTO, CA, 94304
NUMBER OF CLAIMS: 27
EXEMPLARY CLAIM: 1
LINE COUNT: 1113
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention provides a compound of the formula: ##STR1##

a pharmaceutically acceptable salt or a prodrug thereof, where R.sup.1, R.sup.2, R.sup.3, R.sup.4, p and n are those defined herein. The present invention also provides compositions comprising, methods for using, and methods for preparing Compound of Formula I.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

FILE 'MEDLINE' ENTERED AT 12:12:52 ON 15 MAR 2006

FILE 'BIOSIS' ENTERED AT 12:12:52 ON 15 MAR 2006


FILE 'EMBASE' ENTERED AT 12:12:52 ON 15 MAR 2006


L6 0 L2

FILE 'MARPAT' ENTERED AT 12:12:57 ON 15 MAR 2006
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FILE CONTENT: 1910-PRESENT VOL 144 ISS 11 (20060310/ED)

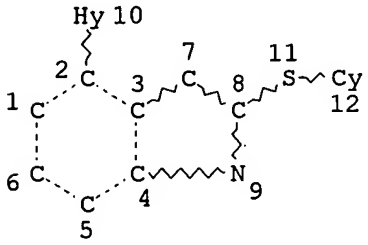
SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1910-1987

MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 2006030554 09 FEB 2006
DE 102004053311 05 JAN 2006
EP 1609846 28 DEC 2005
JP 2006003337 05 JAN 2006
WO 2006012333 02 FEB 2006
GB 2415429 28 DEC 2005
FR 2873371 27 JAN 2006
RU 2266908 27 DEC 2005
CA 2495134 23 DEC 2005

Expanded G-group definition display now available.

New CAS Information Use Policies, enter HELP USAGETERMS for details.
L7 STR




NODE ATTRIBUTES:
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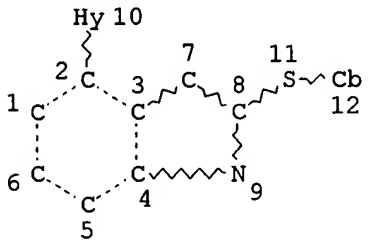
MLEVEL IS CLASS AT 10 12
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME:
ECLEVEL IS LIM ON ALL NODES
ALL RING(S) ARE ISOLATED

L9 105 SEA FILE=MARPAT SSS FUL L7 (MODIFIED ATTRIBUTES)
L10 STR




NODE ATTRIBUTES:
CONNECT IS X3 RC AT 7
DEFAULT MLEVEL IS ATOM
MLEVEL IS CLASS AT 10
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME:
ECLEVEL IS LIM ON ALL NODES
ALL RING(S) ARE ISOLATED

L11 29 SEA FILE=MARPAT SUB=L9 SSS FUL L10 (MODIFIED ATTRIBUTES)

100.0% PROCESSED 94 ITERATIONS 29 ANSWERS
SEARCH TIME: 00.00.01

L11 ANSWER 1 OF 29 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 143:483193 MARPAT
TITLE: Pharmaceutical compositions containing myricitrin or related compounds for treatment of sleeping disorders
INVENTOR(S): Chan, Hsiao Chang; Gou, Yu Lin; Rowlands, Dewi Kenneth; Chung, Yiu Wa
PATENT ASSIGNEE(S): Hong Kong
SOURCE: U.S. Pat. Appl. Publ., 43 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 2005261167 | A1 | 20051124 | US 2005-129628 | 20050513 |
| WO 2005115547 | A2 | 20051208 | WO 2005-US16783 | 20050513 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2004-572528P 20040518

AB Provided herein is a composition that contains an effective amount of one or more compds. for treating, preventing, or ameliorating a disorder such as insomnia or another sleeping disorder and using the composition Mice were orally administered a mixture containing dihydromyricetin 75.46, myricetin 23.26, and myricitrin 1.27% 60 min prior to low dose injection of sodium pentobarbitone (12.5 mg/kg, i.p.). The mixture was able to significantly prolong pentobarbital induced-sleeping time.

L11 ANSWER 2 OF 29 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 143:460024 MARPAT
TITLE: Indole derivatives as chemical uncouplers, their

preparation, pharmaceutical compositions, and use in treatment of obesity and related conditions

INVENTOR(S): Olesen, Preben Houlberg; Hohlweg, Rolf

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

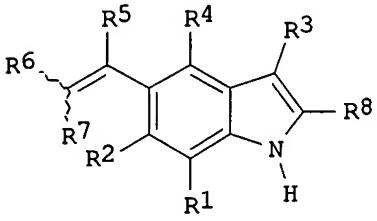
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2005105785 | A2 | 20051110 | WO 2005-EP52017 | 20050503 |
| WO 2005105785 | A3 | 20060119 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: DK 2004-708 20040504

GI

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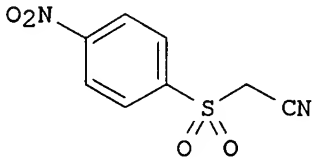
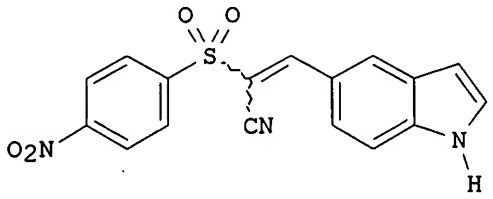



II




III

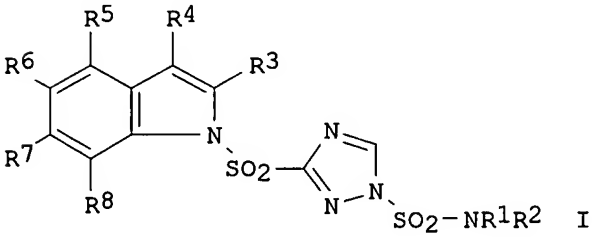
AB The invention relates to 5-vinyl-indole derivs. I, which are chemical uncouplers useful, e.g., for the treatment of obesity. In compds. I, R1 to R4 are independently selected from H, halo, nitro, cyano, (un)substituted haloalkyl, (un)substituted alkoxy, (un)substituted alkylamino, (un)substituted alkyl, (un)substituted aryl, (un)substituted heteroaryl, etc.; R5 is H, halo, nitro, cyano, alkyl, alkenyl, alkynyl, alkoxy, or alkylamino; R6 is 4-pyridinium radical,

alkyl, alkenyl, alkynyl, carbonyloxy, carbonylamino, etc.; R7 is H or cyano, provided that if R7 is H, then R6 is a 4-pyridinium radical, or R6 and R7, together with the carbon atom to which they are attached, may form a 4-(dicyanomethylene)dihydrophenyl moiety; and R8 is selected from H, halo, nitro, cyano, (un)substituted haloalkyl, (un)substituted alkoxy, (un)substituted alkylamino, (un)substituted alkyl, (un)substituted aryl, (un)substituted heteroaryl, etc. The invention also relates to the preparation of I, pharmaceutical compns. comprising a compound of formula I, as well as to the use of the compns. in the treatment of obesity and related conditions. Chloroacetonitrile was substituted with 4-nitrothiophenol followed by oxidation to give sulfonylacetonitrile II. Knoevenagel condensation of II with 5-formylindole resulted in the formation of indolylacrylonitrile III. The compds. of the invention act as chemical uncouplers (no data) useful in the treatment of obesity and related conditions.

L11 ANSWER 3 OF 29 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 143:341070 MARPAT
TITLE: Synergistic broad-spectrum microbicide compositions containing sulfamoyl compounds and dipeptides or basic copper chloride
INVENTOR(S): Suzuki, Hiroyuki; Hasunuma, Nakako
PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 34 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 2005272310 | A2 | 20051006 | JP 2004-84042 | 20040323 |

PRIORITY APPLN. INFO.: JP 2004-84042 20040323
GI



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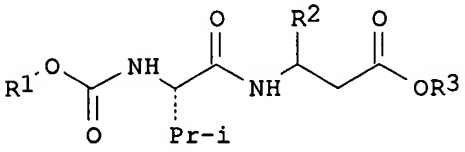


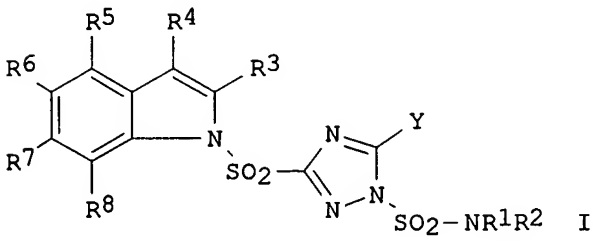
II

AB The microbicide compns. contain (A) sulfamoyl compds. I [R1, R2 = C1-4 alkyl; R1R2 may form C4-6 alkylene; Y = H, halo, C1-8 alkyl, C1-6 alkoxy, C1-10 alkylthio, C1-6 haloalkyl, C1-6 haloalkylthio, (un)substituted benzylthio, (un)substituted Ph, (un)substituted benzyl; R3-R8 = H, C1-8 alkyl, C3-8 cycloalkyl, C2-8 alkenyl, C5-8 cycloalkenyl, C2-8 alkynyl, C1-8 alkoxy, etc.] and/or their agrochem. acceptable salts and (B) dipeptides II (R1 = iso-Pr, Ph; R2 = Me; R3 = Ph substituted with R4 at the 4-position, 2-benzothiazolyl which may be substituted with R5; R4, R5 = F, Cl, Me, Et, MeO, cyano) or (C) basic copper chloride (copper oxychloride) (III). Concomitant application of 1-(N,N-dimethylsulfamoyl)-3-(3-bromo-6-fluoro-2-methylindol-1-yl)sulfonyl-1,2,4-triazole (at 0.625 g/ha) and Me (±)-RS-[3-(N-isopropoxycarbonyl-S-valinyl)amino]-3-(4-chlorophenyl)propanoate (at 2.5 g/ha) showed ≥80% control of disease caused by Phytophthora infestans in potato.

L11 ANSWER 4 OF 29 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 142:311366 MARPAT
TITLE: Method and agents for control of clubroot disease with sulfamoyl compounds
INVENTOR(S): Suzuki, Hiroyuki; Hasunuma, Nakako
PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 24 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 2005082479 | A2 | 20050331 | JP 2003-312347 | 20030904 |

PRIORITY APPLN. INFO.: JP 2003-312347 20030904
GI



I

AB Clubroot disease (Plasmodiophora brassicae) is controlled with sulfamoyl compds. I (R1, R2 = C1-4 alkyl; R1R2 may form C4-6 alkylene; Y = H, halo, C1-8 alkyl, etc.; R3-R8 = H, C1-8 alkyl, C3-8 cycloalkyl, etc.). I (R1 = R2 = R3 = Me, R4 = Cl, R5 = R7 = F, R6 = R8 = Y = H) (at 200 ppm) showed ≥60% inhibition of Plasmodiophora brassicae in Chinese cabbage. Formulation examples are given.

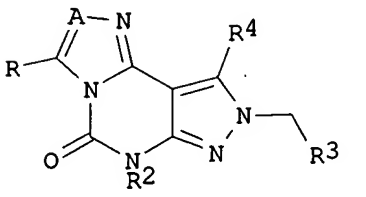
L11 ANSWER 5 OF 29 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 142:261549 MARPAT
TITLE: Preparation of imidazo[1,2-c]pyrazolo[4,3-e]pyrimidine derivatives as glutamate racemase inhibitors

INVENTOR(S): Basarab, Gregory S.; Eyermann, Charles J.; Gowravaram, Madhusudhan R.; Green, Oluyinka; Kiely, Andrew; MacPherson, Lawrence J.; Morningstar, Marshall L.; Thanh, Nguyen
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 76 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

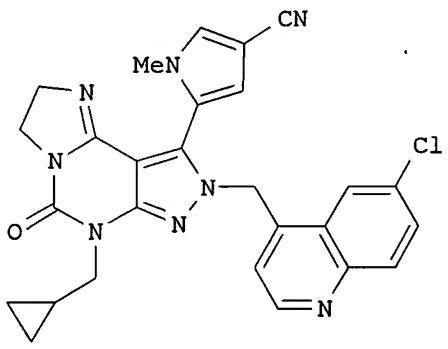
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2005016929 | A1 | 20050224 | WO 2004-GB3464 | 20040812 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-495615P 20030815
GI



I



II

AB Title compds. represented by the formula I [wherein A = N or (un)substituted C; R = H, halo, (un)substituted alkyl, sulfide, etc.; R2 = H, (un)substituted (cyclo)alkyl, alkenyl, aryl, etc.; R3 = (un)substituted hetero(bi)cyclic ring; and pharmaceutically acceptable salts thereof] were prepared as glutamate racemase inhibitors. For example, II was given in a multi-step synthesis starting from the reaction of 6-chlorouracil with cyclopropylmethyl bromide. I showed inhibition of glutamate racemase with IC50 values of less than 400 uM. Thus, I and their pharmaceutical compns. are useful as glutamate racemase inhibitors for the treatment or prophylaxis of H. pylori infection.
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE

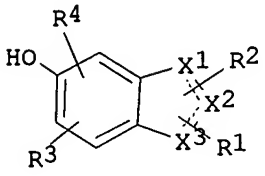
RE FORMAT

L11 ANSWER 6 OF 29 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 142:198073 MARPAT
TITLE: Preparation of heterocyclic compounds useful as malonyl-CoA decarboxylase inhibitors
INVENTOR(S): Cheng, Jie Fei; Nguyen, Bao Ngoc; Liu, Xuewei; Lopaschuk, Gary D.; Dyck, Jason R.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 18 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 2005026969 | A1 | 20050203 | US 2004-900958 | 20040728 |
| WO 2005011670 | A1 | 20050210 | WO 2004-US24285 | 20040728 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-492030P 20030801
GI




I

AB The present invention provides methods for the use of compds. I [X1, X2, X3 = O, N, NH, NR5, S, C; R1, R2 = H, halogen, substituted C1-6-alkyl, substituted C1-6-alkenyl, substituted C1-6-alkynyl, alkoxy, (un)substituted Ph, aryl, (un)substituted heteroaryl, NHCONR5R6, COR5, CONR5R6, S(O)nR5, SO2NR5R6; R3, R4 = H, Br, Cl, F, I, OH, OMe, CO2H, CO2R5, CONR5R6, S(O)nR5, SO2NR5R6, substituted C1-6-alkyl, C1-6-alkoxy, (un)substituted Ph, aryl, heteroaryl; R5, R6 = H, (un)substituted C1-6-alkyl, (un)substituted Ph, aryl, heteroaryl], its enantiomers, diastereomers, tautomers, or physiol. acceptable salts or prodrugs, pharmaceutical compns. containing the same, and methods for the prophylaxis, management and treatment of metabolic diseases and diseases modulated by MCD inhibition. Thus, benzofuran I

[X1 = CC(:O)NHC6H3(OMe)2-3,4, X2 = CH, X3 = O, R3 = 4-Br, R4 = 6-Br] was prepared from 5-methoxybenzofuran-2-carboxylic acid via regioselective bromination at C(3), decarboxylation, debromination-carboxylation at C(3), O-demethylation, regioselective dibromination and amidation with 3,4-dimethoxyaniline. The compds. disclosed in this invention are useful for the prophylaxis, management and treatment of diseases involving in malonyl-CoA regulated glucose/fatty acid metabolism pathway. The inhibitory activity of I vs. malonyl-CoA decarboxylase was determined [Ki = 31.6 - 4750.2 μM]. In particular, these compds. and pharmaceutical composition containing the same are indicated in the prophylaxis, management and treatment of cardiovascular diseases, diabetes, cancer and obesity.

L11 ANSWER 7 OF 29 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 141:225308 MARPAT
TITLE: Preparation of indolylmaleimides for preventing or treating disorders or diseases mediated by T lymphocytes and/or PKC or GSK-3β
INVENTOR(S): Von Matt, Peter; Wagner, Juergen
PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis Pharma GmbH
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004072062 | A2 | 20040826 | WO 2004-EP1323 | 20040212 |
| WO 2004072062 | A3 | 20041104 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2513613 | AA | 20040826 | CA 2004-2513613 | 20040212 |
| EP 1597250 | A2 | 20051123 | EP 2004-710393 | 20040212 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |

PRIORITY APPLN. INFO.: GB 2003-3319 20030213
WO 2004-EP1323 20040212

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; Ra = H, alkyl, hydroxyalkyl, aminoalkyl, etc.; Rb = H, halo, alkyl, alkoxy; R = II, III (wherein R1, R3 = heterocyclyl, XRcY; X = a direct bond, O, S, NR11; R11 = H, alkyl; Rc = (un)substituted alkylene; Y = OH, (un)substituted NH2, etc.; R2, R4 = H, halo, alkyl, alkoxy, CF3, CN, NO2, NH2)], were prepared E.g., a multi-step synthesis of IV which showed, for example, IC50 of 5.4 nM

against PKCθ and IC50 of 18 nM against GSK-3β, is given.
The pharmaceutical composition comprising the compound I is claimed.

L11 ANSWER 8 OF 29 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 141:106488 MARPAT
TITLE: Preparation of pyrazolo[3,4-d]pyrimidine derivatives for treatment of H.pylori infection
INVENTOR(S): Basarab, Gregory; Eyermann, Joseph; Gowravaram, Madhusudhan; Green, Oluyinka; Macpherson, Lawrence; Morningstar, Marshall; Nguyen, Thanh
PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.
SOURCE: PCT Int. Appl., 129 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004056831 | A1 | 20040708 | WO 2003-SE2033 | 20031219 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2003288869 | A1 | 20040714 | AU 2003-288869 | 20031219 |
| EP 1585748 | A1 | 20051019 | EP 2003-781250 | 20031219 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |

PRIORITY APPLN. INFO.: SE 2002-3825 20021220
WO 2003-SE2033 20031219

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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. I-IV [wherein X = S, O, or NR20, with exclusions; W = S, O, or NR20, with an exclusion; R1 = H, (un)substituted alkyl, alkenyl, etc.; R2 = H, (un)substituted alkyl, alkenyl, etc.; R3 = (hetero)cyclyl; R4 = (hetero)cyclyl; R20 = H, CN, (un)substituted alkyl, etc.] or pharmaceutically acceptable salts thereof are prepared for the treatment or prophylaxis of H. pylori infection. For example, the compound V was prepared in a multi-step synthesis. These compds. showed IC50 of <400 μM against glutamate racemase.
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 9 OF 29 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 140:375087 MARPAT
TITLE: Preparation of bicyclic benzamides as histamine H3 receptor ligands useful in the treatment of neurological diseases
INVENTOR(S): Best, Desmond John; Orlek, Barry Sidney
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004037788 | A1 | 20040506 | WO 2003-EP11650 | 20031020 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2003278119 | A1 | 20040513 | AU 2003-278119 | 20031020 |
| EP 1554243 | A1 | 20050720 | EP 2003-769430 | 20031020 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| JP 2006505623 | T2 | 20060216 | JP 2005-501524 | 20031020 |
| PRIORITY APPLN. INFO.: | | | GB 2002-24557 | 20021022 |
| | | | GB 2003-6328 | 20030319 |
| | | | WO 2003-EP11650 | 20031020 |

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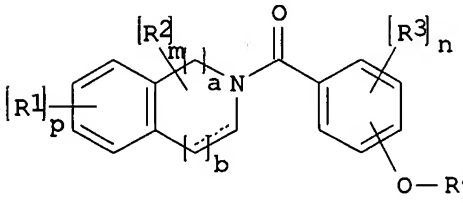


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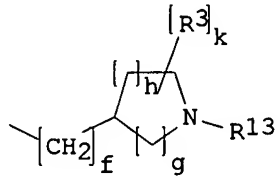


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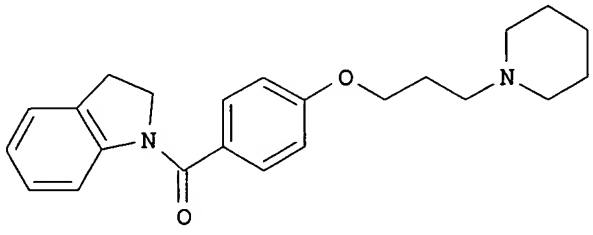


III

AB The title compds. [I; R1, R2 = halo, OH, CN, etc.; a, b = 0-2 (a and b cannot both = 0); R3 = halo, alkyl, alkoxy, CN, NH2, CF3; m, n = 0-2; p = 0-3 (when p = > 1 then two R1 may instead be linked to form a heterocyclyl); R4 = (CH2)qNR11R12, II (wherein q = 2-4; R11, R12 = alkyl; or NR11R12 = (un)substituted heterocyclyl; R13 = H, alkyl, cycloalkyl, alkylaryl, heterocyclyl; R14 = halo, alkyl, haloalkyl, OH, dialkylamino, alkoxy; f, k = 0-2; g = 0-2 and h = 0-3 (g and h cannot both be 0))], useful in the treatment of neurol. and psychiatric disorders, were prepared Thus, reacting 4-[3-(piperidin-1-yl)propoxy]benzoic acid hydrochloride (preparation given) with indoline afforded III which exhibited pKb ≥ 8.5 in the histamine H3 functional antagonist assay. The pharmaceutical composition comprising the compound I is claimed.

L11 ANSWER 10 OF 29 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 140:357119 MARPAT
TITLE: Preparation of amino morpholinopurine derivatives for treating interleukin-12 overprodation-related disorders
INVENTOR(S): Sun, Lijun; Ono, Mitsunori; Wada, Yumiko; Ying, Weiwen; Przewloka, Teresa; Kostik, Elena
PATENT ASSIGNEE(S): Synta Pharmaceuticals Corp., USA
SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004035740 | A2 | 20040429 | WO 2003-US32546 | 20031014 |
| WO 2004035740 | A3 | 20041216 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2502356 | AA | 20040429 | CA 2003-2502356 | 20031014 |
| US 2004198725 | A1 | 20041007 | US 2003-686505 | 20031014 |
| EP 1556140 | A2 | 20050727 | EP 2003-776373 | 20031014 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| JP 2006507273 | T2 | 20060302 | JP 2004-545265 | 20031014 |
| PRIORITY APPLN. INFO.: | | | US 2002-418984P | 20021015 |
| | | | WO 2003-US32546 | 20031014 |

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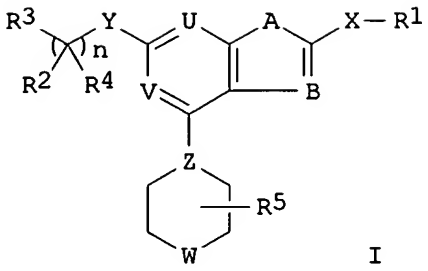

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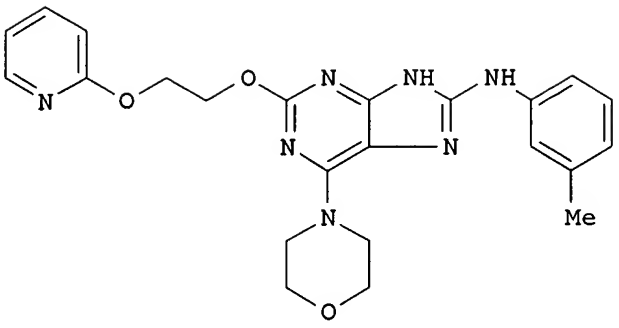

II

AB The title compds. I [R1 = (hetero)aryl; R2, R4 = H, halo, CN, alkyl, etc.; R3 = H, halo, CN, alkyl, alkenyl, alkynyl, aryl, heteroaryl, (hetero)cyclyl, etc.; R5 = H or alkyl; n = 0-6; A = O, S, SO, SO2, etc; B = N or CRa; X = O, S, SO, SO2, etc; Y = a bond, CO, C=NRb, O, S, SO, SO2, etc; Z = N or CH; U, V = N or CRa; W = O, S, NRc; Ra = H, alkyl, aryl, acyl, sulfonyl, etc.; Rb = H, alkyl, (hetero)aryl, (hetero)cyclyl; Rc = H, alkyl, aryl, acyl, sulfonyl; with provisos] were prepared for treating interleukin-12 overprodation-related disorders. Thus, reaction of 5,6-diamino-2-[2-(pyridin-2-yloxy)-ethoxy]-4-morpholinopyrimidine (preparation given) with m-tolyl isocyanate yielded compound II. The prepared compds. were assayed on human PBMC or THP-1 cell and showed IC50 < 1 nM.

L11 ANSWER 11 OF 29 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 140:303525 MARPAT
TITLE: Preparation of 2,4-substituted indoles as 5-HT6 modulators
INVENTOR(S): Madera, Ann Marie; Weikert, Robert James
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004026831 | A1 | 20040401 | WO 2003-EP9969 | 20030908 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD,

GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

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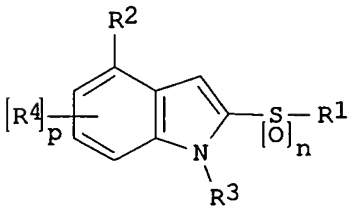
|---|---|---|---|---|
| CA 2498946 | AA | 20040401 | CA 2003-2498946 | 20030908 |
| AU 2003267063 | A1 | 20040408 | AU 2003-267063 | 20030908 |
| EP 1542973 | A1 | 20050622 | EP 2003-747986 | 20030908 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| BR 2003014363 | A | 20050719 | BR 2003-14363 | 20030908 |
| JP 2006502177 | T2 | 20060119 | JP 2004-537019 | 20030908 |
| US 2004072844 | A1 | 20040415 | US 2003-663335 | 20030916 |
| NO 2005000664 | A | 20050415 | NO 2005-664 | 20050208 |
| PRIORITY APPLN. INFO.: | | | US 2002-411480P | 20020917 |
| | | | WO 2003-EP9969 | 20030908 |

GI



I

AB The title compds. [I; n = 0-2; p = 1-2; R1 = (un)substituted (hetero)aryl; R2 = (un)substituted heterocyclyl; R3 = H, alkyl, COR5 (wherein R5 = alkyl, alkoxy, aryl, aryloxy); R4 = H, OH, CN, alkyl, etc.], useful for treating or preventing a disease state that is alleviated by 5-HT6 agonists, were prepared E.g., a 3-step synthesis of I [n = 2; R1 = 2-FC6H4; R2 = piperazino; R3, R4 = H], was given. The compds. I were tested and found to have selective 5-HT6 receptor affinity. Activities for representative compds. I were given. The pharmaceutical composition comprising the compound I is claimed.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 12 OF 29 MARPAT COPYRIGHT 2006 ACS on STN

| | |
|---|---|
| ACCESSION NUMBER: | 139:365221 MARPAT |
| TITLE: | Preparation of amino acid derivatives as antidiabetic agents |
| INVENTOR(S): | Maruta, Katsunori; Nagata, Ryu; Iwai, Kiyotaka; Ushiroda, Kantaro; Yoshida, Kozo |
| PATENT ASSIGNEE(S): | Sumitomo Pharmaceuticals Co., Ltd., Japan |
| SOURCE: | PCT Int. Appl., 207 pp. CODEN: PIXXD2 |
| DOCUMENT TYPE: | Patent |
| LANGUAGE: | Japanese |

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003091211 | A1 | 20031106 | WO 2003-JP3935 | 20030328 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2003220896 | A1 | 20031110 | AU 2003-220896 | 20030328 |
| PRIORITY APPLN. INFO.: | | | JP 2002-90206 | 20020328 |
| | | | WO 2003-JP3935 | 20030328 |

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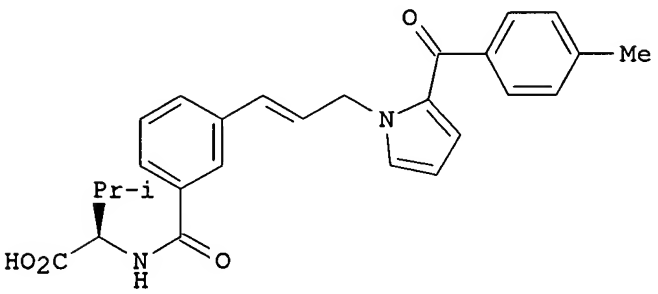


I

AB The title compds. with general formula of R1-X1-Ar1-W1-Z-W2-Ar2 [wherein ring Z = (un)substituted pyrrole, pyrazole, imidazole, triazole, indole, indazole, or benzimidazole; W2 = a single bond, SO, SO2, (un)substituted CONH, SO2NH, alkylene, alkenylene, or alkynylene; Ar2 = (un)substituted aryl or heteroaryl; W1 = (un)substituted alkylene, alkenylene, alkynylene, or Y-W3, etc.; Y = O, S, or (un)substituted NH; W3 = (un)substituted alkylene, alkenylene, or alkynylene; Ar1 = (un)substituted arylene or heteroarylene; X1 = SO2, OCO2, SO3, (un)substituted CONHSO2, NHSO2, NHCO, SO2NHCO, SO2NH, CONH, OCONH, NHCONH, -NH-C(NH2)=N-, NHCO2, or Y2-W4; Y2 = S, (un)substituted NHCO, CONH, CH=NO, NH, -N(CO2H)-, -N(COH)-, -N(SO2H)-, or -N(CONH2)-; W4 = (un)substituted alkylene; R1 = (un)substituted alkyl, alkoxy, alkenyl, or alkynyl, etc.] and prodrugs or pharmaceutically acceptable salts thereof are prepared The title compds. have an effect of activating PPARα, PPARγ, or controlling the activation of PPARα/γ, and improve insulin resistance, and are useful for the treatment of diabetes (no data). For example, the compound I was prepared in a multi-step synthesis. I showed agonist activities of 20.2 and 4.2 at the concentration of 10 μM against human PPARα and PPARγ, resp.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 13 OF 29 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 138:271682 MARPAT
TITLE: Preparation of cyclic hydroxamic acids as inhibitors of matrix metalloproteinases and/or TNF-α converting enzyme for treatment of inflammatory disorders
INVENTOR(S): Ott, Gregory; Chen, Xiao-Tao; Duan, Jingwu; Lu, Zhonghui
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 344 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003024899 | A2 | 20030327 | WO 2002-US29685 | 20020916 |
| WO 2003024899 | A3 | 20031127 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2003139388 | A1 | 20030724 | US 2002-244626 | 20020916 |
| US 6740649 | B2 | 20040525 | | |
| EP 1427408 | A2 | 20040616 | EP 2002-775865 | 20020916 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | |

PRIORITY APPLN. INFO.: US 2001-322630P 20010917
WO 2002-US29685 20020916

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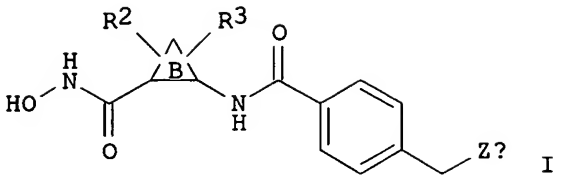

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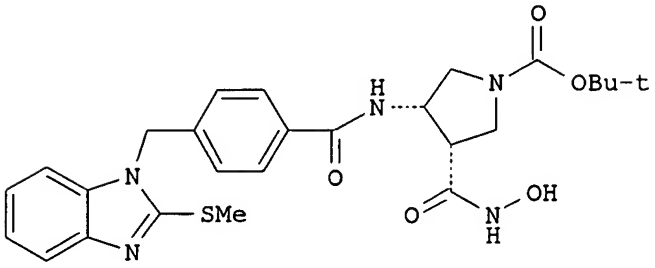

II

AB Title compds. I [wherein ring B = (un)substituted 4-7 membered (hetero)cyclic ring containing 0-2 O, N, NR1, or SOp atoms and 0-3 carbonyl groups; R1 and R2 = independently Q, alk(en/yn)ylene-Q, or (un)substituted alkylene-Q interrupted by O, NRa, CO, CO2, CONRa, NRaCO, NRaCO2, NRaCONRa, SOp, NRaSO2, or SO2NRa; or R1 = (un)substituted alkylene-Q interrupted by OCO, OCO2, or OCONRa; Q = H or (un)substituted (hetero)cyclyl; R3 = Q1, Cl, F, alk(en/yn)ylene-Q1, or (un)substituted alkylene-Q1 interrupted by O, NR1, NRaCO, CONRa, CO, CO2, SOp, or SO2NRa; Q1 = H or (un)substituted Ph, naphthyl, or heterocyclyl; Za = (un)substituted benzimidazolyl, indolyl, imidazopyridinyl, pyrazolylpyridinyl, benzofuranyl, benzothiazinyl, quinolinyl, etc.; Ra = independently H, alkyl, Ph, or benzyl; p = 0-2; or stereoisomers or pharmaceutically acceptable salts thereof] were prepared as inhibitors of matrix metalloproteinases (MMP), TNF-a converting enzyme (TACE), aggrecanase, or a combination thereof. For example, reaction of benzyl Me maleate with paraformaldehyde and glycine gave benzyl Me (cis)-3,4-pyrrolidinedicarboxlyate (100%). BOC-protection (64%), debenzylation (96%), resolution of the (3S,4S)-isomer with (S)-α-methylbenzylamine, conversion to the carbamate with DPPA and PhCH2OH (76%), and Pd catalyzed hydrogenation (100%) provided Me (3S,4S)-4-amino-1-(tert-butoxycarbonyl)-3-pyrrolidinecarboxylate. Coupling of the amine with 4-[(2-methylthio-1H-benzimidazol-1-yl)methyl]benzoic acid (preparation given) afforded the amide (99%), which was treated with NH2OH•HCl/MeONa to give the hydroxamic acid (3S,4S)-II (33%). A number of the compds. of the invention inhibited MMP-1, 2, 3, 7, 8, 9, 10, 12, 13, 14, 15, and/or 16 with Ki values of ≤ 10 μM. Thus, I are useful for the treatment of a wide variety of inflammatory disorders (no data).

L11 ANSWER 14 OF 29 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 138:55866 MARPAT
TITLE: Preparation of indole derivatives as phospholipase enzyme inhibitors for treatment of inflammatory conditions
INVENTOR(S): Seehra, Jasbir S.; McKew, John C.; Lovering, Frank; Bemis, Jean E.; Xiang, Yibin; Chen, Lihren;

Knopf, John L.
PATENT ASSIGNEE(S): Genetics Institute, LLC, USA
SOURCE: U.S., 57 pp., Cont.-in-part of U. S. Ser. No. 256,062, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 6500853 | B1 | 20021231 | US 2000-686616 | 20001011 |
| PRIORITY APPLN. INFO.: | | | US 1998-113674P | 19980228 |
| | | | US 1999-256062 | 19990224 |

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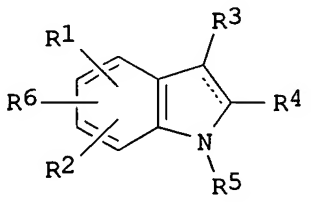


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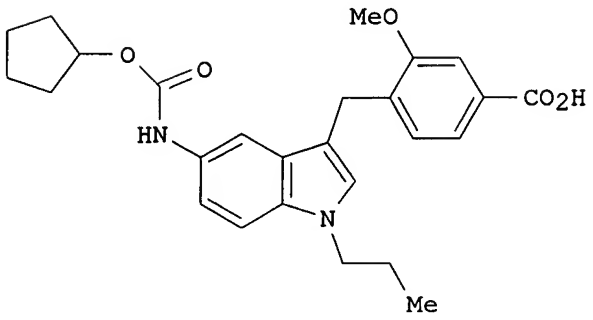


II

AB Title compds. I [wherein R1 and R6 = independently H, halo, CF3, alkyl, alkylthio, alkoxy, CN, NO2, NH2, Ph, OPh, SPh, CH2Ph, OCH2Ph, SCH2Ph, or (un)substituted amido, carbamido, sulfonyl, etc.; R2 = H, halo, CF3, OH, alkyl, alkoxy, CHO, CN, NO2, (un)substituted amino, or alkylsulfonyl; R3 = CO2H, OPO3H2, SO3H, etc.; R4 = H, CF3, alkyl, alkoxy, (alkyl)cycloalkyl, CHO, halo, etc.; R5 = alkyl, alkoxy, (alkyl)cycloalkyl, etc.; and pharmaceutically acceptable salts thereof] were prepared as phospholipase enzyme inhibitors. For example, 5-nitroindole was C3-alkylated (55%) with Me 4-(bromomethyl)-3-methoxybenzoate in dioxane, N-alkylated (57%) with 1-iodopropane in a solution of THF and NaH, and converted to the amine (80%) by hydrogenation using Pt/C. The amine was converted to the carbamate (39%) by addition of cyclopentyl chloroformate in CH2Cl2 and 4-methylmorpholine, and the resultant ester was hydrolyzed to yield II (71%). The latter inhibited cytosolic phospholipase A2 (cPLA2) by 50% at a concentration of 170 μM in a coumarin assay and reduced footpad volume by 16.61% at a dose of 5 mg/Kg IV in a carrageenan-induced footpad

edema test on rats. Thus, I are useful for treatment of inflammatory conditions, such as arthritis, inflammatory bowel disease, and asthma (no data).

REFERENCE COUNT: 83 THERE ARE 83 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 15 OF 29 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 134:353307 MARPAT
TITLE: Preparation of 2-(benzylthio)benzimidazoles and analogs as anti-Helicobacter pylori agents
INVENTOR(S): Abedi, Joseph; Carcanague, Daniel; Kuehler, Thomas; Shue, Youe-Kong; Wuonola, Mark
PATENT ASSIGNEE(S): Pharmacia AB, Swed.
SOURCE: PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001034573 | A1 | 20010517 | WO 2000-SE2192 | 20001108 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: SE 1999-4044 19991109
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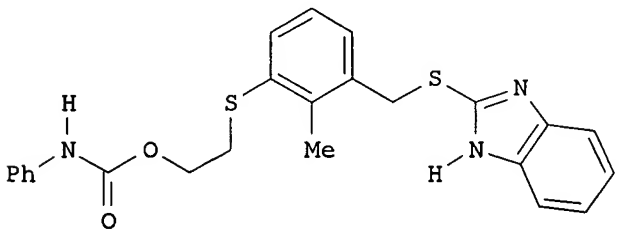


II

AB R1Z1Z(CH2)nSR2 [I; R1 = (oxa)alkyl, RNHCO2CH2CH2, R3R4NCH2CH2, R5NHCOCH2, etc.; R = alkyl, (hetero)aryl(alkyl), etc.; R2 = e.g., 2-benzimidazolyl; R3 = H and R4 = H, (hetero)aryl, etc.; NR3R4 = heterocyclyl; R5 = H, (cyclo)alkyl, (hetero)arylalkyl, etc.; Z = substituted 1,3-phenylene; Z1 = O, S, (alkyl)imino, etc.; n = 0-5] were prepared Thus, 2,3-Me(H2N)C6H3CO2H was converted in 3 steps to Me 3-chloromethyl-2-methylphenylthioacetate which was thioetherified by 2-mercaptobenzimidazole and the reduced product carbamylated by PhNCO to give title compound II. Data for biol. activity of I were given.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR

THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 16 OF 29 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 131:199620 MARPAT
TITLE: Preparation of indole derivatives as phospholipase enzyme inhibitors
INVENTOR(S): Seehra, Jasbir S.; Xiang, Yibin; Bemis, Jean; McKew, John; Kaila, Neelu; Chen, Lihren
PATENT ASSIGNEE(S): Genetics Institute, Inc., USA
SOURCE: PCT Int. Appl., 225 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9943672 | A1 | 19990902 | WO 1999-US3388 | 19990217 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2322163 | AA | 19990902 | CA 1999-2322163 | 19990217 |
| AU 9932970 | A1 | 19990915 | AU 1999-32970 | 19990217 |
| BR 9909242 | A | 20001114 | BR 1999-9242 | 19990217 |
| TR 200002445 | T2 | 20001221 | TR 2000-20000244 | 19990217 |
| EP 1062216 | A1 | 20001227 | EP 1999-936073 | 19990217 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI | | | | |
| JP 2002504551 | T2 | 20020212 | JP 2000-533428 | 19990217 |
| EE 200000522 | A | 20020215 | EE 2000-522 | 19990217 |
| HR 2000000513 | A1 | 20011231 | HR 2000-513 | 20000731 |
| NO 2000004217 | A | 20001023 | NO 2000-4217 | 20000823 |
| BG 104781 | A | 20011031 | BG 2000-104781 | 20000919 |
| PRIORITY APPLN. INFO.: | | | US 1998-30102 | 19980225 |
| | | | WO 1999-IS3388 | 19990217 |
| | | | WO 1999-US3388 | 19990217 |

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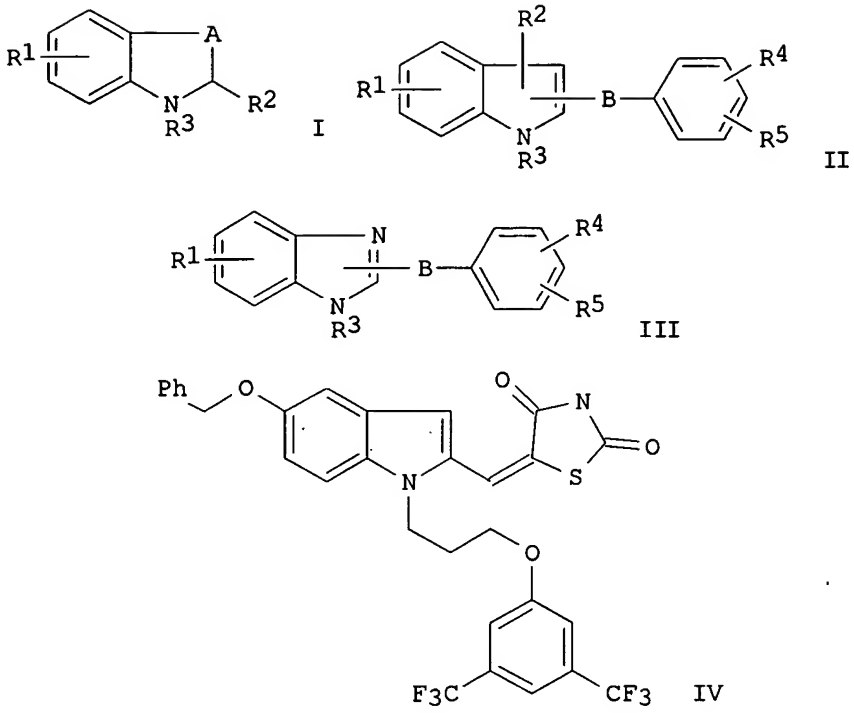

AB Indole derivs. (I), (II), and (III) [where A = CH2 or CH2CH2; B = (CH2)n, (CH2O)n, (CH2S)n, (OCH2)n, (SCH2)n, (CH=CH)n, (C.tplbond.C)n, CON(R6), N(R6)CO, O, S, or N(R6); R1 and R5 = independently H, OH, halogen, CN, NO2, C1-5 alkyl, alkenyl, alkynyl, or (un)substituted aryl, etc.; R2 and R3 = independently H, CO2H, COR5, CONR5R6, (CH2)nW(CH2)mZR5, (CH2)nWR5, ZR5, C1-10 alkyl, alkenyl, or substituted aryl; R4 = H, OH, OR6, SR6, CN, COR6, NHR6, CO2H, COR6R7, NO2, (un)substituted sulfamidocarbonyl, C1-5 alkyl, alkenyl, or substituted aryl; R6, R7 = H, C1-5 alkyl, alkenyl, alkynyl, or (un)substituted aryl; W = O, S, CH2, CH=CH, C.tplbond.C, or N(R6); X = O, S, N(R6); Z = CH2, O, S, N(R6), CO, CON(R6), N(R6)CO; m and n = independently 0-4] and pharmaceutically acceptable salts thereof, were prepared Thus, 2,4-thiazolidinedione and K2CO3 followed by NaOH were added to 5-(benzyloxyl)-1-(4-{[3,5-bis(trifluoromethyl)phenoxy]methyl}benzyl)-1H-indole-2-carboxaldehyde in EtOH to form the 2,4-thiazolidinedion-4-ylidene derivative The ylidene was dissolved in a solution of DMF and NaH, reacted with an alkyl ester of 4-(bromomethyl)benzoic acid, and deesterified with HF to yield the acid, (E)-(IV). The title compds. are useful as phospholipase enzyme inhibitors, especially cytosolic phospholipase A2 (cPLA2), for treatment of inflammatory conditions, particularly where inhibition of production of prostaglandins, leukotrienes, and PAF are all desired. Eighty-seven compds. of the invention were tested for phospholipase enzyme inhibiting activity in the LysoPC and/or Coumarine assay. IC50 values ranged from 0.081 μM to >50 μM for the LysoPC assay and from 2.5 μM to >64 μM for the Coumarine assay. Selected compds. were tested for in vivo activity in the carrageenan-induced rat paw edema test, and showed 4.2% to 34.2% inhibition. Forty-eight compds. of the invention were tested for cPLA2 enzyme activity, and exhibited 25% to 95% inhibition at concns. of 3 μM to 100 μM.

Searcher : Shears 571-272-2528

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 17 OF 29 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 131:157771 MARPAT
TITLE: Preparation of five-membered, benzo-condensed heterocycles as antithrombotics
INVENTOR(S): Ries, Uwe; Hauel, Norbert; Mihm, Gerhard; Priepke, Henning; Binder, Klaus; Stassen, Jean Marie; Wienen, Wolfgang; Zimmermann, Rainer
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma Kg, Germany
SOURCE: PCT Int. Appl., 250 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9940072 | A1 | 19990812 | WO 1999-EP537 | 19990128 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| DE 19804085 | A1 | 19990805 | DE 1998-19804085 | 19980203 |
| DE 19834325 | A1 | 20000217 | DE 1998-19834325 | 19980730 |
| CA 2319494 | AA | 19990812 | CA 1999-2319494 | 19990128 |
| AU 9927201 | A1 | 19990823 | AU 1999-27201 | 19990128 |
| EP 1060166 | A1 | 20001220 | EP 1999-907437 | 19990128 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| JP 2002502844 | T2 | 20020129 | JP 2000-530502 | 19990128 |
| PRIORITY APPLN. INFO.: | | | DE 1998-19804085 | 19980203 |
| | | | DE 1998-19834325 | 19980730 |
| | | | WO 1999-EP537 | 19990128 |

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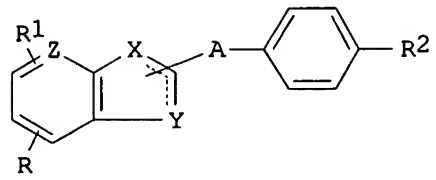

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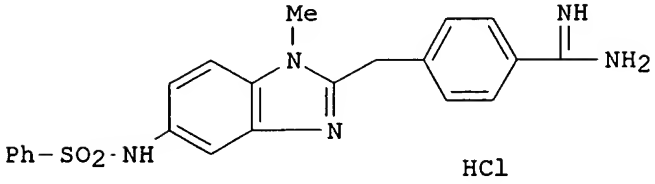

HCl II

AB Title compds. [I; R = 5-C6H5SO2NH, 6-C6H5SO2NH, 5-C6H5NHSO2, 5-C6H5SO2N(CH2COOEt), 5-C6H5SO2N(CH3), 5-C6H5N(CH2CH2CH2COOEt)CO, 5-C6H5, CH3N(C6H5)CO, 8; R1 = H, 7-CH3, 3-Br, 3-EtO; R2 = C(:NH)NH2; A = CH2, NH; X = CH, MeN, EtOCOCH2CH2N, O, S, NCH2CO2H; Y = N, CH, CH:CH; Z = CH, N; dotted bond = single, double in relation to X; A is attached at 2,or 8 position depending on the heterocyclic ring] and their tautomers, stereoisomers, mixts. and their physiol. compatible salts with inorg. or organic acids or bases are prepared and title compds in which R2 is a cyano group, present valuable intermediate products for the production of the remaining compds. of the general formula I, with R2 is amidino, which have valuable pharmacol. properties, especially an antithrombotic activity. Thus, the title compound II was prepared

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 18 OF 29 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 131:157761 MARPAT

TITLE: 5-Membered heterocyclic condensed benzo derivatives, their preparation, and their use as drugs

INVENTOR(S): Ries, Uwe; Hauel, Norbert; Mihm, Gerhard; Priepke, Henning; Binder, Klaus; Stassen, Jean Marie; Wienen, Wolfgang; Zimmermann, Rainer

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany

SOURCE: Ger. Offen., 94 pp.
CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DE 19804085 | A1 | 19990805 | DE 1998-19804085 | 19980203 |
| CA 2319494 | AA | 19990812 | CA 1999-2319494 | 19990128 |
| WO 9940072 | A1 | 19990812 | WO 1999-EP537 | 19990128 |

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN,

IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

| | | | | |
|---|---|---|---|---|
| AU 9927201 | A1 | 19990823 | AU 1999-27201 | 19990128 |
| EP 1060166 | A1 | 20001220 | EP 1999-907437 | 19990128 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| JP 2002502844 | T2 | 20020129 | JP 2000-530502 | 19990128 |
| US 6114532 | A | 20000905 | US 1999-243200 | 19990202 |
| PRIORITY APPLN. INFO.: | | | DE 1998-19804085 | 19980203 |
| | | | US 1998-77694P | 19980312 |
| | | | DE 1998-19834325 | 19980730 |
| | | | WO 1999-EP537 | 19990128 |

AB Approx. 300 antithrombotic title compds. such as 4-[5-[N-(8-quinolylsulfonyl)-N-(carboxymethyl)amino]-1-methyl-1H-benzimidazol-2-ylmethyl]benzamidine hydrochloride (I), 4-[5-[N-(benzenesulfonyl)-N-[2-(dimethylamino)ethyl]amino]-1-benzyl-1H-benzimidazol-2-ylmethyl]benzamidine dihydrochloride, 4-[5-[N-(3-carboxypropionyl)-N-(cyclopentyl)amino]-1-methyl-1H-benzimidazol-2-ylmethyl]benzamidine hydrochloride (II), and 4-[5-[N-(8-quinolylsulfonyl)-N-(carboxymethyl)amino]-1-methyl-1H-benzothiazol-2-ylmethyl]benzamidine hydrochloride were prepared by standard methods. The ED200 in μM for I was 0.92 and for II was 0.82. Formulations for the antithrombotics were given.

L11 ANSWER 19 OF 29 MARPAT COPYRIGHT 2006 ACS on STN

| | |
|---|---|
| ACCESSION NUMBER: | 131:44738 MARPAT |
| TITLE: | Preparation of pyridones as herbicides |
| INVENTOR(S): | Yamaguchi, Mikio; Ito, Yoshihiro; Shibayama, Atsushi; Yamaji, Mitsuhiro; Hanai, ryo; Uotsu, Sota; Sadohara, Hideo |
| PATENT ASSIGNEE(S): | Kumiai Chemical Industry Co., Ltd., Japan; Ihara Chemical Industry Co., Ltd. |
| SOURCE: | Jpn. Kokai Tokkyo Koho, 117 pp. CODEN: JKXXAF |
| DOCUMENT TYPE: | Patent |
| LANGUAGE: | Japanese |
| FAMILY ACC. NUM. COUNT: | 1 |

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 11140054 | A2 | 19990525 | JP 1998-219658 | 19980717 |
| PRIORITY APPLN. INFO.: | | | JP 1997-220218 | 19970731 |

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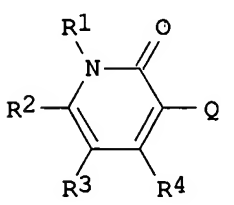


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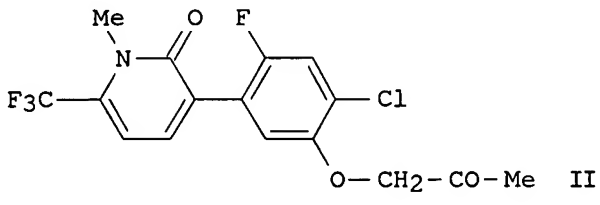


II

AB The title compds. I [R1 = H, alkyl, etc.; R2 = haloalkyl, etc.; R3, R4 = H, alkyl, etc.; Q = (un)substituted Ph, etc.] are prepared The title compound II (at 10 g/area ) gave ≥ 90% control of Amaranthus retroflexus.

L11 ANSWER 20 OF 29 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 131:44659 MARPAT
TITLE: Preparation of N-aryl-1-adamantaneacetamides and analogs as purinergic P2Z receptor antagonists
INVENTOR(S): Baxter, Andrew; Brough, Stephen; Mcinally, Thomas; Mortimore, Michael; Cladingboel, David
PATENT ASSIGNEE(S): Astra Pharmaceuticals Ltd., UK; Astra Aktiebolag
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9929660 | A1 | 19990617 | WO 1998-SE2189 | 19981201 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2312889 | AA | 19990617 | CA 1998-2312889 | 19981201 |
| AU 9917914 | A1 | 19990628 | AU 1999-17914 | 19981201 |
| AU 746716 | B2 | 20020502 | | |
| EP 1036058 | A1 | 20000920 | EP 1998-962752 | 19981201 |
| EP 1036058 | B1 | 20030312 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| BR 9813368 | A | 20001003 | BR 1998-13368 | 19981201 |
| TR 200001558 | T2 | 20001023 | TR 2000-20000155 | 19981201 |
| EE 200000320 | A | 20010815 | EE 2000-20000032 | 19981201 |
| JP 2001525391 | T2 | 20011211 | JP 2000-524257 | 19981201 |
| RU 2197447 | C2 | 20030127 | RU 2000-117580 | 19981201 |
| AT 234274 | E | 20030315 | AT 1998-962752 | 19981201 |
| PT 1036058 | T | 20030731 | PT 1998-962752 | 19981201 |
| NZ 504375 | A | 20030829 | NZ 1998-504375 | 19981201 |
| ES 2195433 | T3 | 20031201 | ES 1998-962752 | 19981201 |
| US 6242470 | B1 | 20010605 | US 1999-230511 | 19990126 |
| NO 2000002785 | A | 20000801 | NO 2000-2785 | 20000531 |
| HK 1028594 | A1 | 20030905 | HK 2000-107989 | 20001212 |
| PRIORITY APPLN. INFO.: | | | SE 1997-4545 | 19971205 |
| | | | WO 1998-SE2189 | 19981201 |

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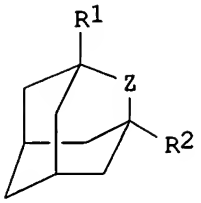


I

AB Title compds. [I; R1 = Z1CONHR; R = (un)substituted Ph, -benzothiazolyl, -indolyl, -pyridyl, etc.; R2 = H or halo; Z = CH2 or O; Z1 = CH2, CH2CH2, OCH2, NHCH2] were prepared Thus, 1-adamantaneacetyl chloride was amidated by 6-amino-2-methylbenzothiazole to give I (R1 = CH2CONHR, R = 2-methyl-6-benzothiazolyl, R2 = H, Z = CH2). Data for biol. activity of I were given.

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 21 OF 29 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 128:3699 MARPAT

TITLE: Preparation of indolyl-substituted uracil derivatives as herbicides

INVENTOR(S): Takehi, Takayoshi; Miyazaki, Masahiro; Tamaru, Masatoshi; Yamaji, Yoshihiro; Hanai, Ryo; Uotsu, Sota; Sadohara, Hideo

PATENT ASSIGNEE(S): Kumiai Chemical Industry Co., Ltd., Japan; Ihara Chemical Industry Co., Ltd.

SOURCE: PCT Int. Appl., 100 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9742188 | A1 | 19971113 | WO 1997-JP1535 | 19970507 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| AU 9726512 | A1 | 19971126 | AU 1997-26512 | 19970507 |
| JP 10053584 | A2 | 19980224 | JP 1997-132817 | 19970507 |
| PRIORITY APPLN. INFO.: | | | JP 1996-137501 | 19960508 |
| | | | WO 1997-JP1535 | 19970507 |

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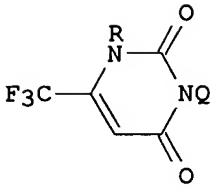

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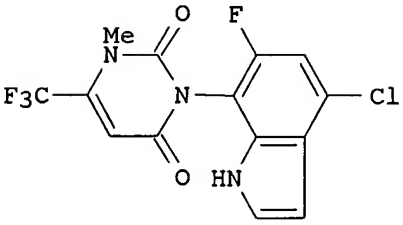

II

AB The title compds. I [R = alkyl, etc.; Q = indolyl (2 generic structures given)] are prepared The title compound II (at 10 g) gave ≥ 90% control of Echinochloa oryzicola, Monochoria vaginalis, and Scirpus juncoides.

L11 ANSWER 22 OF 29 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 125:275859 MARPAT
TITLE: Preparation of indolylthiazolidinediones and analogs as antidiabetics
INVENTOR(S): Ohara, Yoshio; Suzuki, Mikio; Ohdoi, Keisuke; Miyachi, Nobuhide; Kato, Katsuhiro; Kobayashi, Tetsuya; Shikada, Ken-ichi; Kitahara, Masaki; Naito, Takeshi; et al.
PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 280 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9626207 | A1 | 19960829 | WO 1996-JP403 | 19960222 |
| W: AU, CA, CN, CZ, FI, HU, KR, LT, LV, MX, NO, NZ, RO, RU, SI, SK, UA, US | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| AU 9647311 | A1 | 19960911 | AU 1996-47311 | 19960222 |
| JP 09235284 | A2 | 19970909 | JP 1996-34492 | 19960222 |
| ZA 9601478 | A | 19960828 | ZA 1996-1478 | 19960223 |
| PRIORITY APPLN. INFO.: | | | JP 1995-34963 | 19950223 |
| | | | JP 1995-336391 | 19951225 |
| | | | WO 1996-JP403 | 19960222 |

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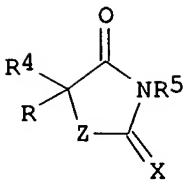


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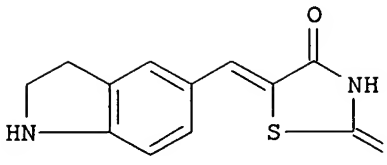


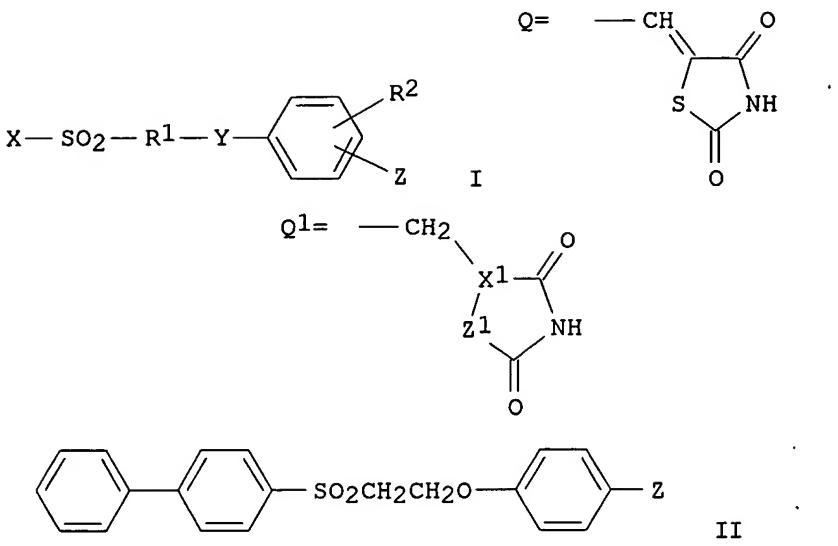

II

AB Title compds. [I; R = R1CR6R7; R1 = (un)substituted indolyl; R4 = H or alkyl; R5 = H or CH2CO2H; R6,R7 = H, (cyclo)alkyl; R4R7 = bond; X = O, S, NH; Z = O or S] were prepared as hypoglycemics and aldose reductase inhibitors. Thus, 5- formylindole (preparation given) was condensed with thiazolidine-2,4-dione to give title compound II. Data for in vivo biol. activity of I were given.

L11 ANSWER 23 OF 29 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 125:195654 MARPAT
TITLE: Preparation of (azolylphenoxy)alkyl aryl or heterocyclyl sulfone derivatives having aldose reductase-inhibitory activity as hypolipidemics, hypoglycemics, and antiobesity agents
INVENTOR(S): Yanagisawa, Hiroaki; Fujita, Takeshi; Fujimoto, Koichi; Wada, Kunio; Oguchi, Minoru; Yoshioka, Takao; Fujiwara, Toshihiko; Horikoshi, Hiroyoshi
PATENT ASSIGNEE(S): Sankyo Co, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 30 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 08157461 | A2 | 19960618 | JP 1994-303810 | 19941207 |

PRIORITY APPLN. INFO.: JP 1994-303810 19941207
GI




AB The title compds. (I; R1 = C1-6 alkylene; R2 = H, C1-6 alkyl, C1-4 alkoxy or alkylthio, halo, NO2, NH2, C1-4 alkylamino, di(C1-4 alkyl)amino, or C6-10 aryl, heterocyclyl, or C7-11 aralkyl each optionally having 1-3 substituents; X = C6-10 aryl or heterocyclyl optionally having 1-3 substituents; Y = O, S, NR3; wherein R3 = H, C1-6 alkyl, C1-8 acyl; Z = Q, Q1; wherein X1 = C and Z1 = O or S; or X1 = N and Z = O), which are useful for improving hyperlipidemia, hyperglycemia, obesity, impaired glucose tolerance, insulin resistance, and diabetes complications, and thereby treating or preventing impaired glucose tolerance-caused diseases such as hypertension, osteoporosis, and cachexia and diabetes complications such as retinopathy, kidney disease, nerve diseases, cataract, and arteriosclerosis, are prepared Thus, 19 g 4-[2-(4-biphenylylsulfonyl)ethoxy]benzaldehyde and 2,4-thiazolidinedione were suspended in ethanol, treated with 2 mL piperidine, and refluxed for 16 h to give 23.6 g thiazolidinedione derivative (II; Z = Q), which (10 g) as hydrogenated in the presence of 5% Pd-C in AcOH at 90° for 20 h to give 2.93 g [(biphenylylsulfonylethoxy)benzyl]thiazolidinedion e II (Z = Q1; wherein X1 = C, Z1 = S).

L11 ANSWER 24 OF 29 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 123:256510 MARPAT
TITLE: Preparation of indolylcarbonylguanidines, benzofurylcarbonylguanidines, benzothienylcarbonylguanidines, benzimidazolylcarbonylguanidines, and related compounds as drugs and diagnostic agents.
INVENTOR(S): Lang, Hans Jochen; Weichert, Andreas; Schwark, Jan Robert; Scholz, wolfgang; Albus, Udo; Crause, Peter
PATENT ASSIGNEE(S): Hoechst A.-G., Germany
SOURCE: Eur. Pat. Appl., 36 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 639573 | A1 | 19950222 | EP 1994-111765 | 19940728 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| DE 4326005 | A1 | 19950209 | DE 1993-4326005 | 19930803 |
| DE 4414316 | A1 | 19951026 | DE 1994-4414316 | 19940425 |
| PRIORITY APPLN. INFO.: | | | DE 1993-4326005 | 19930803 |
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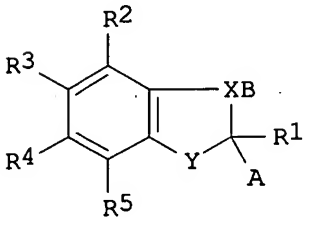


I

AB Title compds. [I; X = N, CR6; Y = O, S, NR7; A, B = H; AB = bond; 1 of R1-R6 = CON:C(NH2)2, the other of R1-R6 = H, F, Cl, Br, iodo, alkyl, ≤2 of R1-R6 = cyano, NO2, N3, alkoxy, CF3, etc.; R7 = H, alkyl, alkenyl, etc.], were prepared Thus, 3-chloro-5-fluoro-1-methylindolyl-2-carboxylic acid guanidide hydrochloride (synthetic outline given) inhibited rabbit erythrocyte Na+/H+-exchanger with IC50 = 3 + 10-8 M.

L11 ANSWER 25 OF 29 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 123:85970 MARPAT
TITLE: Manufacture of thio ethers
INVENTOR(S): Wright, Charles W.; Potenza, Joan C.; Leary, John E., Jr.; Kim, Chang K.
PATENT ASSIGNEE(S): Eastman Kodak Co., USA
SOURCE: U.S., 25 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 5405969 | A | 19950411 | US 1993-165765 | 19931210 |
| EP 657424 | A1 | 19950614 | EP 1994-203552 | 19941206 |
| EP 657424 | B1 | 19980610 | | |
| R: BE, CH, DE, FR, GB, IT, LI, NL | | | | |
| JP 07224028 | A2 | 19950822 | JP 1994-307752 | 19941212 |
| PRIORITY APPLN. INFO.: | | | US 1993-165765 | 19931210 |

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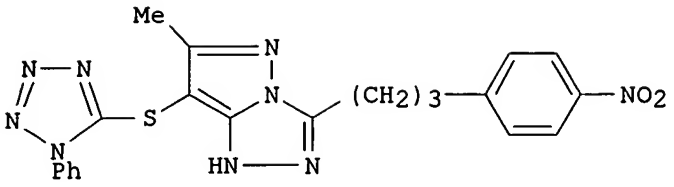

I

AB A thioether having the formula ASR is prepared by reaction of AH, where A comprises a C bonded to the H which C is either capable of ionizing to a nucleophilic state or is conjugated to such an atom, with HSR or RSSR, where R is a substituted or unsubstituted aryl or alicyclic group, said group being carbocyclic or heterocyclic, or thiocarbonyl, in the presence of a base and an oxidizing agent that is free of reactive halogen and that is capable of oxidizing HSR to RSSR. The process provides a more efficient, more cost-effective, and more environmentally favorable method for the manufacture of thio ether-containing photog. couplers. Environmentally hazardous halogenated wastes which must be disposed of are not generated. As an example, I was prepared from 6-methyl-3-[3-(4-nitrophenyl)propyl]-1H-pyrazolo[5,1-c]-1,2,4-triazole and phenyltetrazolethiol by use of Et3N and N-methylmorpholine N-oxide.

L11 ANSWER 26 OF 29 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 122:239545 MARPAT
TITLE: Preparation of 4-bicyclyldihydropyridines as cardiovascular agents.
INVENTOR(S): Straub, Alexander; Goldmann, Siegfried; Stoltefuss, Juergen; Bechem, Martin; Dembrowsky, Klaus; Gross, Rainer; Hebisch, Siegbert; Huetter, Joachim; Rounding, Howard-Paul
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Eur. Pat. Appl., 95 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 630895 | A1 | 19941228 | EP 1994-109019 | 19940613 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| DE 4321030 | A1 | 19950105 | DE 1993-4321030 | 19930624 |
| US 5545646 | A | 19960813 | US 1994-261585 | 19940617 |
| CA 2126397 | AA | 19941225 | CA 1994-2126397 | 19940621 |
| JP 07033774 | A2 | 19950203 | JP 1994-160800 | 19940621 |
| US 5721248 | A | 19980224 | US 1996-644880 | 19960510 |
| PRIORITY APPLN. INFO.: | | | DE 1993-4321030 | 19930624 |
| | | | US 1994-261585 | 19940617 |

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. [I; R1, R4 = H, amino, cyano, formyl, CF3, (substituted) alkyl; R2 = cyano, carbamoyl, alkoxycarbonyl, etc.; R3 = cyano, NO2, formyl, (substituted) alkoxycarbonyl, carbamoyl; R3R4 = COECH2; E = O, S, (CH2)n; n = 1,2; R5 = Q1-Q4, etc.; R24 = H, halo, alkyl, alkoxy; R25 = (cyclic) (unsatd.) (O- or S-interrupted) (substituted) hydrocarbyl; L = O, S, NH; V = O, S; X = N, NO], were prepared having Ca agonist/antagonist activity (no data). Thus, Et 5-cyano-1,4-dihydro-2,6-dimethyl-4-(4-oxo-2-phenyl-4H-1-benzothiopyran-8-yl)-3-pyridinecarboxylate was heated with NaBH4 in Me3COH/MeOH to give title compound II.

L11 ANSWER 27 OF 29 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 120:191707 MARPAT
TITLE: 2-Substituted saccharin derivative proteolytic enzyme inhibitors
INVENTOR(S): Hlasta, Dennis John; Desai, Ranjit Chimanlal; Subramanyam, Chakrapani; Lodge, Eric Piatt; Dunlap, Richard Paul; Boaz, Neil Warren; Mura, Albert Joseph; Latimer, Lee Hamilton
PATENT ASSIGNEE(S): Sterling Winthrop Inc., USA
SOURCE: Eur. Pat. Appl., 77 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 542372 | A1 | 19930519 | EP 1992-203469 | 19921112 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| US 5236917 | A | 19930817 | US 1991-793033 | 19911115 |
| AU 9225340 | A1 | 19930520 | AU 1992-25340 | 19920925 |
| AU 654581 | B2 | 19941110 | | |
| CA 2079822 | AA | 19930516 | CA 1992-2079822 | 19921005 |
| NO 9204401 | A | 19930518 | NO 1992-4401 | 19921113 |
| NO 303119 | B1 | 19980602 | | |
| HU 66873 | A2 | 19950130 | HU 1992-3566 | 19921113 |
| IL 103748 | A1 | 19970218 | IL 1992-103748 | 19921113 |
| RU 2101281 | C1 | 19980110 | RU 1992-4381 | 19921113 |
| JP 05194444 | A2 | 19930803 | JP 1992-305295 | 19921116 |
| US 5371074 | A | 19941206 | US 1993-67637 | 19930524 |
| US 5650422 | A | 19970722 | US 1994-270964 | 19940705 |
| US 5596012 | A | 19970121 | US 1995-449152 | 19950524 |
| US 5874432 | A | 19990223 | US 1997-803297 | 19970220 |

PRIORITY APPLN. INFO.:
US 1991-793033 19911115
US 1989-347125 19890504
US 1989-347126 19890504
US 1990-514920 19900426
US 1993-67637 19930524
US 1994-270964 19940705

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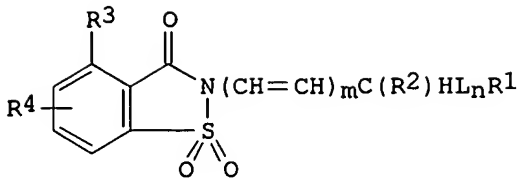

I

AB The title compds. I [L = O, S, SO, SO2; R1 = (un)substituted Ph, (un)substituted heterocyclyl, etc.; R2 = H, lower alkoxycarbonyl, Ph, PhS; R3 = H, halogen, (un)substituted alkyl, Ph, lower alkoxy, lower alkoxycarbonyl, CN, etc.; R4 = H or 1-3 substituents selected from halogen, CN, NO2, NH2, etc.; m, n = 0, 1; when m = 0 then R1 can only be heterocyclyl and CHR2 can only be bonded to a ring N of R1; when m = 0, n = 1 and L is O, S, or SO, then R2-R4 = H; when m = 0, n = 1, L is S, R2, R4 = H and R3 = halogen; when m = 0, n = 1, and L is SO or SO2 then R2 is lower alkoxycarbonyl and R3 = R4 = H while R1 ≠ substituted Ph], useful for the treatment of degenerative diseases (no data), are prepared Thus, 2-hydroxymethyl-4-chlorosaccharin was reacted with thionyl chloride, producing 2-chloromethyl-4-chlorosaccharin (II). II demonstrated inhibition constant for human leukocyte elastase (rate of reactivation of enzyme to rate of inactivation of enzyme) of 0.5 nM and 26 nM for α-chymotrypsin.

L11 ANSWER 28 OF 29 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 116:255341 MARPAT
TITLE: Preparation of N-substituted tetrahydronaphthyl-N-hydroxyureas and analogs as 5-lipoxygenase inhibitors
INVENTOR(S): Adams, Jerry Leroy; Garigipati, Ravi Shanker; Griswold, Don Edgar; Schmidt, Stanley James
PATENT ASSIGNEE(S): SmithKline Beecham Corp., USA
SOURCE: PCT Int. Appl., 92 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9114674 | A2 | 19911003 | WO 1991-US2010 | 19910325 |
| WO 9114674 | A3 | 19920109 | | |
| W: AU, CA, JP, KR, US | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE | | | | |
| CA 2078126 | AA | 19910928 | CA 1991-2078126 | 19910325 |
| AU 9175875 | A1 | 19911021 | AU 1991-75875 | 19910325 |
| AU 660277 | B2 | 19950622 | | |
| EP 522000 | A1 | 19930113 | EP 1991-907085 | 19910325 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| JP 05505610 | T2 | 19930819 | JP 1991-506661 | 19910325 |
| ZA 9102264 | A | 19920429 | ZA 1991-2264 | 19910326 |
| PRIORITY APPLN. INFO.: | | | US 1990-500153 | 19900327 |
| | | | US 1990-500179 | 19900327 |
| | | | WO 1991-US2010 | 19910325 |

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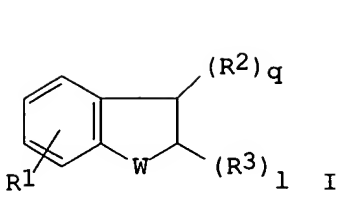


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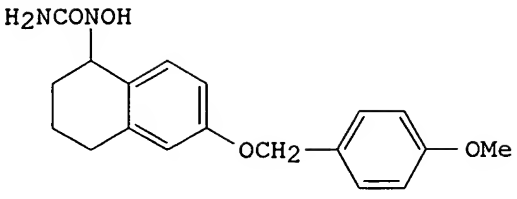


II

AB Title compds. I (R1 = H, C1-10 alkyl, C1-10 alkoxy, etc.; R2, R3 = R4C:BN(ORa), R4 = (halo)(hydroxy) C1-6 alkyl, C2-6 alkenyl, (halo)heteroaryl, C1-6 alkoxy, R5R6N wherein R5 = H, alkyl, R6 = C1-6 alkyl, aryl, PhCH2, etc.; B = O, S, Ra = H, cation, aroyl, C1-12 alkoyl; W = CH2(CH2)s, O(CH2)s, S(CH2)s, NR7(CH2)s, s = 0-3, R7 = H, C1-4 alkyl, Ph, C1-6 alkoyl, aroyl; l = q = 0, 1) or a salt thereof, are prepared I are also analgesics. To 6-hydroxy-1-tetralone was added NaH, followed by 4-(MeO)C6H4CH2Cl and the mixture was heated to 90° for 1 h to give the tetralone derivs. To this in pyridine was added HONH2.HCl to give the oxime, which was treated with BH3-pyridine and converted to the N-hydroxyamine derivative to which was added Me3SiNCO to give after work up the title compound II. II inhibited 5-lipoxygenase with IC50 of 0.5 μM and an analgesic activity ED50 of 10 mg/kg.

L11 ANSWER 29 OF 29 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 116:66934 MARPAT
TITLE: Cosmetics containing oximes for skin protection from UV irradiation
INVENTOR(S): Bush, Rodney Dean; Bissett, Donald Lynn; Chatterjee, Ranjit
PATENT ASSIGNEE(S): Procter and Gamble Co., USA
SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9116034 | A1 | 19911031 | WO 1991-US2398 | 19910409 |
| W: AU, CA | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE | | | | |
| CA 2079485 | AA | 19911027 | CA 1991-2079485 | 19910409 |
| CA 2079485 | C | 19990511 | | |
| AU 9177565 | A1 | 19911111 | AU 1991-77565 | 19910409 |
| AU 662101 | B2 | 19950824 | | |
| EP 611301 | A1 | 19940824 | EP 1991-908813 | 19910409 |
| EP 611301 | B1 | 20030611 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| AT 242625 | E | 20030615 | AT 1991-908813 | 19910409 |
| ES 2199214 | T3 | 20040216 | ES 1991-908813 | 19910409 |
| US 5364617 | A | 19941115 | US 1992-973597 | 19921109 |
| PRIORITY APPLN. INFO.: | | | US 1990-514998 | 19900426 |
| | | | US 1991-657847 | 19910225 |

WO 1991-US2398 19910409

AB Photoprotective compns. which are useful for topical application to prevent sunburn and sun-induced premature aging of the skin caused by acute or chronic exposure to UV light, comprise chelating agents, R1(NR4)nCMC(:NOR3)R2 ( R1, R2 = alkyl, aryl, heteroaryl, R1R2 = cyclic alkyl; R3, R4 = H, alkyl, aryl, heteroaryl; M = :O, :S, etc.; n = 0, 1). A moisturizing lotion containing 2.00 % di-2-furyl ethanedione-syn-monooxime was prepared

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FILE 'JAPIO' ENTERED AT 13:09:26 ON 15 MAR 2006
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- Author (s)

L12 95 S "MADERA A"?/AU
L13 89 S "WEIKERT R"?/AU
L14 17 S L12 AND L13

L18 15 S (L12 OR L13) AND ?INDOLE
L19 28 S L14 OR L18
L20 19 DUP REM L19 (9 DUPLICATES REMOVED)

L20 ANSWER 1 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 1
ACCESSION NUMBER: 2005:1313863 CAPLUS
DOCUMENT NUMBER: 144:51448
TITLE: Preparation of 3-amino-1-arylpropylindoles as monoamine reuptake inhibitors for depression
INVENTOR(S): Greenhouse, Robert; Jaime-Figueroa, Saul; Raptova, Lubica; Reuter, Deborah Carol; Stein, Karin Ann; **Weikert, Robert James**
PATENT ASSIGNEE(S): F.Hoffmann-La Roche AG, Switz.
SOURCE: PCT Int. Appl., 126 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2005118539 | A1 | 20051215 | WO 2005-EP5734 | 20050527 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN,

MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU,
SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA,
UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,
AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ,
DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC,
NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA,
GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2006025467 A1 20060202 US 2005-142076 20050601
PRIORITY APPLN. INFO.: US 2004-576044P P 20040601

OTHER SOURCE(S): MARPAT 144:51448
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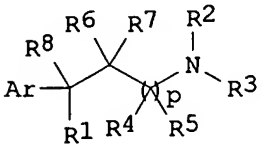


I

AB Title compds. I [p = 1-2; Ar = (un)substituted (un)saturated indolyl, benzimidazolyl, etc.; R1 = Ph, naphthyl, etc.; R2-3 = H, alkyl, hydroxyalkyl, etc.; R6 = H, alkyl, etc.; R7 = H, alkyl, OH, alkoxy, hydroxyalkyl, etc.; R4-5 = H, alkyl, etc.] are prepared For instance, [3-(1H-indol-3-yl)-3-phenylpropyl]methylamine (II) is prepared in 3 steps from **indole**, Meldrum's acid and benzaldehyde. II has a pKi = 8.45 for the human serotonin reuptake transporter. I are useful for the treatment of depression and anxiety.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 2 OF 19 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN

ACCESSION NUMBER: 2006:133100 BIOSIS
DOCUMENT NUMBER: PREV200600142764
TITLE: 4-piperidinyl alkyl amine derivatives as muscarinic receptor antagonists.
AUTHOR(S): Brotherton-Pleiss, Christine E. [Inventor]; **Madera, Ann Marie** [Inventor]; **Weikert, Robert James** [Inventor]
CORPORATE SOURCE: Sunnyvale, CA USA
ASSIGNEE: Syntex (U.S.A.) LLC
PATENT INFORMATION: US 06864266 20050308
SOURCE: Official Gazette of the United States Patent and Trademark Office Patents, (MAR 8 2005)
CODEN: OGUPE7. ISSN: 0098-1133.
DOCUMENT TYPE: Patent
LANGUAGE: English
ENTRY DATE: Entered STN: 22 Feb 2006
Last Updated on STN: 22 Feb 2006

AB This invention relates to the (R)-isomers of compounds which are generally muscarinic receptor antagonists and which are represented by Formula I: wherein p, R-1, R-2, R(3 )and A are as defined in the specification, or individual isomers, racemic or non-racemic mixtures of isomers, or acceptable salts or solvates thereof. The invention

further relates to pharmaceutical compositions containing such compounds and methods for their use and preparation as therapeutic drugs.

L20 ANSWER 3 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 2
ACCESSION NUMBER: 2004:267300 CAPLUS
DOCUMENT NUMBER: 140:303525
TITLE: Preparation of 2,4-substituted indoles as 5-HT6 modulators
INVENTOR(S): **Madera, Ann Marie; Weikert, Robert James**
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|
| WO 2004026831 | A1 | 20040401 | WO 2003-EP9969 | | 20030908 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW | | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | | |
| CA 2498946 | AA | 20040401 | CA 2003-2498946 | | 20030908 |
| AU 2003267063 | A1 | 20040408 | AU 2003-267063 | | 20030908 |
| EP 1542973 | A1 | 20050622 | EP 2003-747986 | | 20030908 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | | |
| BR 2003014363 | A | 20050719 | BR 2003-14363 | | 20030908 |
| JP 2006502177 | T2 | 20060119 | JP 2004-537019 | | 20030908 |
| US 2004072844 | A1 | 20040415 | US 2003-663335 | | 20030916 |
| NO 2005000664 | A | 20050415 | NO 2005-664 | | 20050208 |
| PRIORITY APPLN. INFO.: | | | US 2002-411480P | P | 20020917 |
| | | | WO 2003-EP9969 | W | 20030908 |

OTHER SOURCE(S): MARPAT 140:303525
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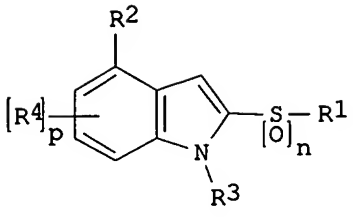


I

AB The title compds. [I; n = 0-2; p = 1-2; R1 = (un)substituted (hetero)aryl; R2 = (un)substituted heterocyclyl; R3 = H, alkyl, COR5 (wherein R5 = alkyl, alkoxy, aryl, aryloxy); R4 = H, OH, CN, alkyl, etc.], useful for treating or preventing a disease state that is alleviated by 5-HT6 agonists, were prepared E.g., a 3-step synthesis of I [n = 2; R1 = 2-FC6H4; R2 = piperazino; R3, R4 = H], was given. The compds. I were tested and found to have selective 5-HT6 receptor affinity. Activities for representative compds. I were given. The pharmaceutical composition comprising the compound I is claimed.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

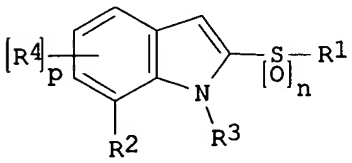
L20 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:267299 CAPLUS
DOCUMENT NUMBER: 140:303524
TITLE: Preparation of 2,7-substituted indoles as 5-HT6 modulators
INVENTOR(S): **Madera, Ann Marie; Weikert, Robert James**
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004026830 | A1 | 20040401 | WO 2003-EP10101 | 20030911 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2496765 | AA | 20040401 | CA 2003-2496765 | 20030911 |
| AU 2003273855 | A1 | 20040408 | AU 2003-273855 | 20030911 |
| BR 2003014352 | A | 20050719 | BR 2003-14352 | 20030911 |
| EP 1587788 | A1 | 20051026 | EP 2003-757820 | 20030911 |

| | | | | | |
|---|---|---|---|---|---|
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | | |
| JP 2006503052 | T2 | 20060126 | JP 2004-537044 | | 20030911 |
| US 2004063724 | A1 | 20040401 | US 2003-663314 | | 20030916 |
| NO 2005000666 | A | 20050311 | NO 2005-666 | | 20050208 |
| PRIORITY APPLN. INFO.: | | | US 2002-411239P | P | 20020917 |
| | | | WO 2003-EP10101 | W | 20030911 |

OTHER SOURCE(S): MARPAT 140:303524
GI



I

AB The title compds. [I; n = 0-2; p = 1-2; R1 = (un)substituted (hetero)aryl; R2 = (un)substituted heterocyclyl; R3 = H, alkyl, COR5 (wherein R5 = alkyl, alkoxy, aryl, aryloxy); R4 = H, OH, CN, alkyl, etc.], useful for treating or preventing a disease state that is alleviated by 5-HT6 agonists, were prepared E.g., a 5-step synthesis of I [n = 2; R1 = Ph; R2 = piperazino; R3 = H; R4 = H], was given. The compds. I were tested and found to have selective 5-HT6 receptor affinity. Activities for representative compds. I were given. The pharmaceutical composition comprising the compound I is claimed.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 5 OF 19 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN

ACCESSION NUMBER: 2005:1810 BIOSIS
DOCUMENT NUMBER: PREV200500011583
TITLE: Benzocycloalkylenylamine derivatives as muscarinic receptor antagonists.
AUTHOR(S): **Weikert, Robert James** [Inventor, Reprint Author]; **Madera, Ann Marie** [Inventor]; Stabler, Russell Stephen [Inventor]
CORPORATE SOURCE: ASSIGNEE: Syntex (U.S.A.) LLC
PATENT INFORMATION: US 6818645 20041116
SOURCE: Official Gazette of the United States Patent and Trademark Office Patents, (Nov 16 2004) Vol. 1288, No. 3. http://www.uspto.gov/web/menu/patdata.html. e-file. ISSN: 0098-1133 (ISSN print).
DOCUMENT TYPE: Patent
LANGUAGE: English
ENTRY DATE: Entered STN: 16 Dec 2004
Last Updated on STN: 16 Dec 2004

AB This invention relates to compounds which are generally muscarinic M2/M3 receptor antagonists and which are represented by Formula I: ##STR1## wherein X, Y, and Z are O, S, or NR4, and the other substituents are as defined in the specification; and prodrugs, individual isomers, racemic or non-racemic mixtures of isomers, and

pharmaceutically acceptable salts or solvates thereof. The invention further relates to pharmaceutical compositions containing such compounds and methods for their use as therapeutic agents.

L20 ANSWER 6 OF 19 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN
ACCESSION NUMBER: 2004:443668 BIOSIS
DOCUMENT NUMBER: PREV200400448851
TITLE: Amino-tetralin derivatives as muscarinic receptor antagonists.
AUTHOR(S): **Madera, Ann Marie** [Inventor, Reprint Author]; **Weikert, Robert James** [Inventor]
CORPORATE SOURCE: ASSIGNEE: Syntex (U.S.A.) LLC
PATENT INFORMATION: US 6806278 20041019
SOURCE: Official Gazette of the United States Patent and Trademark Office Patents, (Oct 19 2004) Vol. 1287, No. 3. http://www.uspto.gov/web/menu/patdata.html. e-file. ISSN: 0098-1133 (ISSN print).
DOCUMENT TYPE: Patent
LANGUAGE: English
ENTRY DATE: Entered STN: 17 Nov 2004
Last Updated on STN: 17 Nov 2004
AB This invention relates to compounds which are generally muscarinic M2/M3 receptor antagonists and which are represented by Formula I: ##STR1## wherein R1, R2, R3 and R4 are as defined in the specification, or individual isomers, racemic or non-racemic mixtures of isomers, or acceptable salts or solvates thereof. The invention further relates to pharmaceutical compositions containing such compounds and methods for their use and preparation as therapeutic drugs.

L20 ANSWER 7 OF 19 WPIDS COPYRIGHT 2006 THE THOMSON CORP on STN
ACCESSION NUMBER: 2004-294422 [27] WPIDS
DOC. NO. CPI: C2004-112621
TITLE: New 2,7-substituted **indole** derivatives useful for treating e.g. psychoses, Alzheimer's disease, Huntington's disease, anxiety, depression, sleep disorders, anorexia and bulimia.
DERWENT CLASS: B02
INVENTOR(S): **MADERA, A M; WEIKERT, R J**
PATENT ASSIGNEE(S): (HOFF) HOFFMANN LA ROCHE & CO AG F; (HOFF) ROCHE PALO ALTO LLC
COUNTRY COUNT: 108
PATENT INFORMATION:

| PATENT NO | KIND | DATE | WEEK | LA | PG |
|---|---|---|---|---|---|
| US 2004063724 | A1 | 20040401 | (200427)* | | 16 |
| WO 2004026830 | A1 | 20040401 | (200431) | EN | |
| RW: AT BE BG CH CY CZ DE DK EA EE ES FI FR GB GH GM GR HU IE IT KE LS LU MC MW MZ NL OA PT RO SD SE SI SK SL SZ TR TZ UG ZM ZW | | | | | |
| W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK DM DZ EC EE EG ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NI NO NZ OM PG PH PL PT RO RU SC SD SE SG SK SL SY TJ TM TN TR TT TZ UA UG UZ VC VN YU ZA ZM ZW | | | | | |
| AU 2003273855 | A1 | 20040408 | (200462) | | |
| NO 2005000666 | A | 20050311 | (200540) | | |
| BR 2003014352 | A | 20050519 | (200549) | | |

EP 1587788 A1 20051026 (200570) EN
R: AL AT BE BG CH CY CZ DE DK EE ES FI FR GB GR HU IE IT LI LT LU LV MC MK NL PT RO SE SI SK TR
MX 2005002696 A1 20050501 (200572)
TW 2004010685 A 20040701 (200580)
JP 2006503052 W 20060126 (200609) 36
CN 1681782 A 20051012 (200612)

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|---|---|---|---|
| US 2004063724 | A1 Provisional | US 2002-411239P | 20020917 |
| | | US 2003-663314 | 20030916 |
| WO 2004026830 | A1 | WO 2003-EP10101 | 20030911 |
| AU 2003273855 | A1 | AU 2003-273855 | 20030911 |
| NO 2005000666 | A | WO 2003-EP10101 | 20030911 |
| | | NO 2005-666 | 20050208 |
| BR 2003014352 | A | BR 2003-14352 | 20030911 |
| | | WO 2003-EP10101 | 20030911 |
| EP 1587788 | A1 | EP 2003-757820 | 20030911 |
| | | WO 2003-EP10101 | 20030911 |
| MX 2005002696 | A1 | WO 2003-EP10101 | 20030911 |
| | | MX 2005-2696 | 20050310 |
| TW 2004010685 | A | TW 2003-125197 | 20030912 |
| JP 2006503052 | W | WO 2003-EP10101 | 20030911 |
| | | JP 2004-537044 | 20030911 |
| CN 1681782 | A | CN 2003-821590 | 20030911 |

FILING DETAILS:

| PATENT NO | KIND | PATENT NO |
|---|---|---|
| AU 2003273855 | A1 Based on | WO 2004026830 |
| BR 2003014352 | A Based on | WO 2004026830 |
| EP 1587788 | A1 Based on | WO 2004026830 |
| MX 2005002696 | A1 Based on | WO 2004026830 |
| JP 2006503052 | W Based on | WO 2004026830 |

PRIORITY APPLN. INFO: US 2002-411239P 20020917; US 2003-663314 20030916

AN 2004-294422 [27] WPIDS

AB US2004063724 A UPAB: 20040928

NOVELTY - 2,7-Substituted **indole** derivatives (I) are new.

DETAILED DESCRIPTION - 2,7-Substituted **indole** derivatives of formula (I) and their salts are new.

n = 0, 1 or 2;

p = 1 or 2;

R1 = (hetero)aryl;

R2 = heterocyclyl;

R3 = H, alkyl, or -C(=O)-R5;

R5 = alkyl, alkoxy, aryl or aryloxy;

R4 = H, hydroxy, cyano, alkoxy, thioalkyl, alkylthio, halo, haloalkyl, (hydroxy)alkyl, nitro, alkoxycarbonyl, alkylcarbonyl, arylsulfonyl, (halo)alkylsulfonyl, amino, (di)alkylamino, alkyl(aryl)amino, alkylaminocarbonyl, alkylcarbonylamino, alkylcarbonyl(alkylamino), alkylaminosulfonyl, alkylsulfonylamino or methylenedioxy.

An INDEPENDENT CLAIM is included for preparation of (I).

ACTIVITY - CNS-Gen.; Neuroleptic; Antimanic; Antidepressant; Neuroprotective; Nootropic; Tranquilizer; Antiparkinsonian; Anticonvulsant; Gastrointestinal-Gen.; Anorectic; Antimigraine; Hypnotic; Anabolic; Eating-Disorders-Gen.; Antiaddictive; Antismoking; Vulnerary; Cerebroprotective.

MECHANISM OF ACTION - 5-Hydroxytryptamine-6 receptor (5-HT6) antagonist.

The binding affinity of 2-benzenesulfonyl-7-(4-methylpiperazin-1-yl)-1H-**indole** (A) to human 5-HT6 receptor was determined by an in vitro radioligand binding assay. Cell membranes derived from HEK293 cells stably expressing recombinant human 5-HT6 receptors were incubated with (3H)LSD (5 nM) and (A) in an assay buffer (50 mM Tris-HCl, 10 mM MgSO4, 0.5 mM EDTA, 1 mM ascorbic acid, pH 7.4) at 37 deg. C for 60 minutes. The cells were harvested and washed; and bound (3H)LSD was measured by Packard Topcount. (A) Showed pKi value of 9.1.

USE - For treating CNS diseases e.g. psychoses, schizophrenia, manic depressions, neurological disorders, memory disorders, attention deficit disorder, Parkinson's disease, amyotrophic lateral sclerosis, Alzheimer's disease and Huntington's disease, gastrointestinal tract disorder, obesity (claimed).

Also for the treatment of anxiety, depression, epilepsy, obsessive compulsive disorders, migraine, sleep disorders, feeding disorders (e.g. anorexia and bulimia), panic attacks, withdrawal from drug abuse (e.g. cocaine, ethanol, nicotine and benzodiazodiazepines), and also disorders associated with spinal trauma and/or head injury such as hydrocephalus.

ADVANTAGE - The compounds are potent and selective 5-HT6 receptor antagonists.

Dwg.0/0

L20 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 3

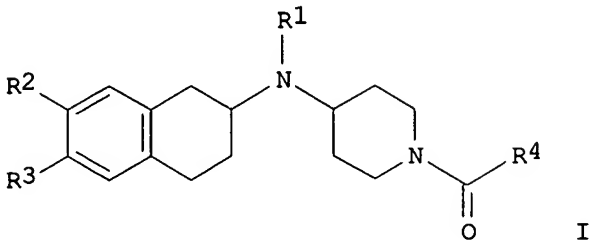
ACCESSION NUMBER: 2003:454291 CAPLUS
DOCUMENT NUMBER: 139:22114
TITLE: Preparation of aminotetralin derivatives as muscarinic receptor antagonists
INVENTOR(S): **Madera, Ann Marie; Weikert, Robert James**
PATENT ASSIGNEE(S): F. Hoffmann-La Roche AG, Switz.
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003048125 | A1 | 20030612 | WO 2002-EP13219 | 20021125 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2469055 | AA | 20030612 | CA 2002-2469055 | 20021125 |

| | | | | |
|---|---|---|---|---|
| AU 2002352124 | A1 | 20030617 | AU 2002-352124 | 20021125 |
| EP 1453806 | A1 | 20040908 | EP 2002-787797 | 20021125 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | |
| BR 2002014649 | A | 20041103 | BR 2002-14649 | 20021125 |
| JP 2005518368 | T2 | 20050623 | JP 2003-549317 | 20021125 |
| US 2003171362 | A1 | 20030911 | US 2002-308092 | 20021202 |
| US 6635658 | B2 | 20031021 | | |
| US 2004092604 | A1 | 20040513 | US 2003-608604 | 20030627 |
| US 6806278 | B2 | 20041019 | | |
| PRIORITY APPLN. INFO.: | | | US 2001-336675P | P 20011203 |
| | | | WO 2002-EP13219 | W 20021125 |
| | | | US 2002-308092 | A1 20021202 |

OTHER SOURCE(S): MARPAT 139:22114

GI

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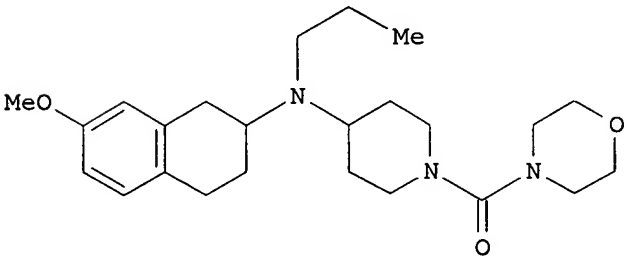



II

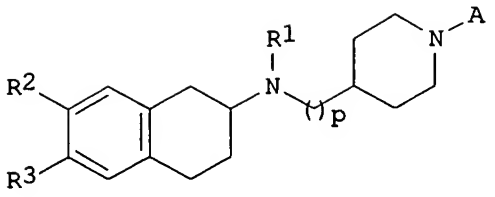
AB Title compds. I [] are prepared For instance, 7-methoxy-3,4-dihydro-1H-naphthalen-2-one is alkylated with [1-benzylpiperidin-4-yl]amine (ClCH2CH2Cl, NaHB(OAc)3), the resulting product is alkylated with propionaldehyde (ClCH2CH2Cl, NaHB(OAc)3), debenzylated (EtOH, H2-Pd(OH)2) and acylated with morpholine-4-carbonyl chloride (CH2Cl2, DIEA) to give II. II has pKi = 8.57 and 8.83 for the muscarinic M2 and M3 receptor resp. I are useful for the treatment of smooth muscle disorders and genitourinary diseases.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 9 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 4
ACCESSION NUMBER: 2003:454290 CAPLUS
DOCUMENT NUMBER: 139:36440
TITLE: Preparation of 4-piperidinyl alkylamine derivatives as muscarinic receptor antagonists
INVENTOR(S): Brotherton-Pleiss, Christine E.; **Madera, Ann Marie; Weikert, Robert James**
PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.
SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|
| WO 2003048124 | A1 | 20030612 | WO 2002-EP13220 | | 20021125 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW | | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | | |
| CA 2468691 | AA | 20030612 | CA 2002-2468691 | | 20021125 |
| AU 2002352125 | A1 | 20030617 | AU 2002-352125 | | 20021125 |
| EP 1453805 | A1 | 20040908 | EP 2002-787798 | | 20021125 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | | |
| BR 2002014674 | A | 20041019 | BR 2002-14674 | | 20021125 |
| JP 2005517641 | T2 | 20050616 | JP 2003-549316 | | 20021125 |
| US 2003162780 | A1 | 20030828 | US 2002-308081 | | 20021202 |
| US 6627644 | B2 | 20030930 | | | |
| US 2004092554 | A1 | 20040513 | US 2003-611193 | | 20030701 |
| US 6864266 | B2 | 20050308 | | | |
| PRIORITY APPLN. INFO.: | | | US 2001-336795P | P | 20011203 |
| | | | WO 2002-EP13220 | W | 20021125 |
| | | | US 2002-308081 | A1 | 20021202 |

OTHER SOURCE(S): MARPAT 139:36440
GI



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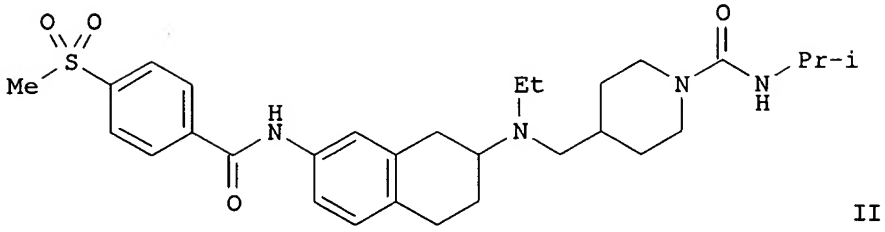

II

AB Title compds. I [A = acyl, sulfonyl; R1 = alkyl, allyl; R2-3 = H, halo, (hetero)aryl, etc.; p = 1-2] are prepared For instance, 7-nitro-3,4-dihydro-1H-naphthalen-2-one is used to alkylate 4-(aminomethyl)piperidine-1-carboxylic acid tert-Bu ester (1,2-dichloroethane, NaHB(OAc)3), the product alkylated with acetaldehyde (1,2-dichloroethane, NaHB(OAc)3), reduced (EtOH, H2-Pd/C) to the corresponding aniline, acylated with 4-(methanesulfonyl)benzoyl chloride (EtOAc, K2CO3), deprotected (CH2Cl2, TFA) and treated with isopropylisocyanate (CH2Cl2) to give II. Muscarinic M2/M3 inhibitory activities are determined for selected compds. I are useful for the treatment of genitourinary disorders.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 10 OF 19 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN

ACCESSION NUMBER: 2004:7519 BIOSIS
DOCUMENT NUMBER: PREV200400008443
TITLE: Benzocycloalkylenylamine derivatives as muscarinic receptor antagonists.
AUTHOR(S): **Weikert, Robert James** [Inventor, Reprint Author]; **Madera, Ann Marie** [Inventor]; Stabler, Russell Stephen [Inventor]
CORPORATE SOURCE: ASSIGNEE: Syntex (U.S.A.) LLC
PATENT INFORMATION: US 6645958 20031111
SOURCE: Official Gazette of the United States Patent and Trademark Office Patents, (Nov 11 2003) Vol. 1276, No. 2. http://www.uspto.gov/web/menu/patdata.html. e-file. ISSN: 0098-1133 (ISSN print).
DOCUMENT TYPE: Patent
LANGUAGE: English
ENTRY DATE: Entered STN: 17 Dec 2003
Last Updated on STN: 17 Dec 2003

AB This invention relates to compounds which are generally muscarinic M2/M3 receptor antagonists and which are represented by Formula I:

##STR1## wherein X, Y, and Z are O, S, or NR4, and the other substituents are as defined in the specification; and prodrugs, individual isomers, racemic or non-racemic mixtures of isomers, and pharmaceutically acceptable salts or solvates thereof. The invention further relates to pharmaceutical compositions containing such compounds and methods for their use as therapeutic agents.

L20 ANSWER 11 OF 19 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN
ACCESSION NUMBER: 2003:496282 BIOSIS
DOCUMENT NUMBER: PREV200300496489
TITLE: 4-piperidinyl alkyl amine derivatives as muscarinic receptor antagonists.
AUTHOR(S): Brotherton-Pleiss, Christine E. [Inventor, Reprint Author]; **Madera, Ann Marie** [Inventor]; **Weikert, Robert James** [Inventor]
CORPORATE SOURCE: Sunnyvale, CA, USA
ASSIGNEE: Syntex (U.S.A.) LLC
PATENT INFORMATION: US 6627644 20030930
SOURCE: Official Gazette of the United States Patent and Trademark Office Patents, (Sep 30 2003) Vol. 1274, No. 5. http://www.uspto.gov/web/menu/patdata.html. e-file. ISSN: 0098-1133 (ISSN print).
DOCUMENT TYPE: Patent
LANGUAGE: English
ENTRY DATE: Entered STN: 22 Oct 2003
Last Updated on STN: 22 Oct 2003
AB This invention relates to compounds which are generally muscarinic receptor antagonists and which are represented by Formula I: ##STR1## wherein p, R1, R2, R3 and A are as defined in the specification, or individual isomers, racemic or non-racemic mixtures of isomers, or acceptable salts or solvates thereof. The invention further relates to pharmaceutical compositions containing such compounds and methods for their use and preparation as therapeutic drugs.

L20 ANSWER 12 OF 19 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN
ACCESSION NUMBER: 2003:86026 BIOSIS
DOCUMENT NUMBER: PREV200300086026
TITLE: Benzocycloalkylenylamine derivatives as muscarinic receptor antagonists.
AUTHOR(S): **Weikert, Robert James** [Inventor, Reprint Author]; **Madera, Ann Marie** [Inventor]; Stabler, Russell Stephen [Inventor]
CORPORATE SOURCE: Dublin, CA, USA
ASSIGNEE: Syntex (U.S.A.) LLC
PATENT INFORMATION: US 6500822 20021231
SOURCE: Official Gazette of the United States Patent and Trademark Office Patents, (Dec 31 2002) Vol. 1265, No. 5. http://www.uspto.gov/web/menu/patdata.html. e-file. ISSN: 0098-1133 (ISSN print).
DOCUMENT TYPE: Patent
LANGUAGE: English
ENTRY DATE: Entered STN: 6 Feb 2003
Last Updated on STN: 6 Feb 2003
AB This invention relates to compounds which are generally muscarinic M2/M3 receptor antagonists and which are represented by Formula I: ##STR1## wherein X, Y, and Z are O, S, or NR4, and the other substituents are as defined in the specification; and prodrugs,

individual isomers, racemic or non-racemic mixtures of isomers, and pharmaceutically acceptable salts or solvates thereof. The invention further relates to pharmaceutical compositions containing such compounds and methods for their use as therapeutic agents.

L20 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 5
ACCESSION NUMBER: 2001:868428 CAPLUS
DOCUMENT NUMBER: 136:6017
TITLE: Substituted 1-aminoalkyl-lactams and their use as muscarinic receptor antagonists
INVENTOR(S): **Madera, Ann Marie**; Stabler, Russell Stephen; **Weikert, Robert James**
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
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| WO 2001090082 | A1 | 20011129 | WO 2001-EP5631 | | 20010517 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CO, CU, CZ, DE, DK, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW | | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | | |
| CA 2408934 | AA | 20011129 | CA 2001-2408934 | | 20010517 |
| EP 1289964 | A1 | 20030312 | EP 2001-933980 | | 20010517 |
| EP 1289964 | B1 | 20041020 | | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | | |
| BR 2001011019 | A | 20030617 | BR 2001-11019 | | 20010517 |
| JP 2003534331 | T2 | 20031118 | JP 2001-586271 | | 20010517 |
| NZ 522410 | A | 20040924 | NZ 2001-522410 | | 20010517 |
| AT 280162 | E | 20041115 | AT 2001-933980 | | 20010517 |
| RU 2243222 | C2 | 20041227 | RU 2002-133220 | | 20010517 |
| ES 2230310 | T3 | 20050501 | ES 2001-1933980 | | 20010517 |
| US 2002004494 | A1 | 20020110 | US 2001-862522 | | 20010522 |
| US 6500822 | B2 | 20021231 | | | |
| ZA 2002008895 | A | 20040219 | ZA 2002-8895 | | 20021101 |
| US 2003109524 | A1 | 20030612 | US 2002-289055 | | 20021106 |
| US 6645958 | B2 | 20031111 | | | |
| ZA 2002009029 | A | 20040206 | ZA 2002-9029 | | 20021106 |
| NO 2002005641 | A | 20021217 | NO 2002-5641 | | 20021122 |
| US 2004034018 | A1 | 20040219 | US 2003-632734 | | 20030801 |
| US 6818645 | B2 | 20041116 | | | |
| US 2004087581 | A1 | 20040506 | US 2003-685124 | | 20031014 |
| PRIORITY APPLN. INFO.: | | | US 2000-207483P | P | 20000525 |
| | | | US 2001-267617P | P | 20010209 |
| | | | US 2001-267579P | P | 20010209 |

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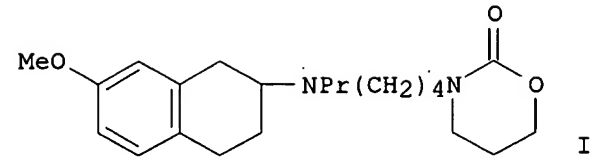
|---|---|---|
| WO 2001-EP5631 | W | 20010517 |
| US 2001-862286 | A3 | 20010522 |
| US 2001-862522 | A3 | 20010522 |
| US 2002-289055 | A3 | 20021106 |

OTHER SOURCE(S): MARPAT 136:6017
GI

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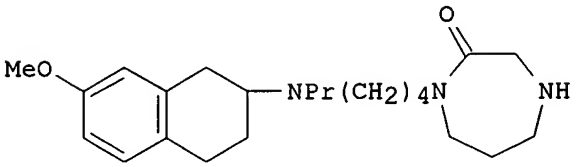


II

AB Title compds. such as I and II were prepared Thus, I was prepared in two steps from 3,4-dihydro-7-methoxy-2(1H)-naphthalenone and PrNH2. Muscarinic inhibitory activities (expressed as pKi values) of I were 8.20 (m2), 7.56 (m3), 6.30 (m5).

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 14 OF 19 WPIDS COPYRIGHT 2006 THE THOMSON CORP on STN
ACCESSION NUMBER: 2002-106163 [14] WPIDS
CROSS REFERENCE: 2002-114276 [15]
DOC. NO. CPI: C2002-032560
TITLE: New substituted 1-aminoalkyl-lactams or their prodrugs, individual isomers, racemic or non-racemic mixtures of isomers, salts or solvates useful in treatment of smooth muscle disorders.
DERWENT CLASS: B02 B03
INVENTOR(S): DVORAK, C A; FISHER, L E; GREEN, K L; HARRIS, R N; MAAG, H; PRINCE, A; REPKE, D B; STABLER, R S; MADERA, M; STABLER, S; WEIKERT, J; HARRIS, R N I; **MADERA, A M; WEIKERT, R J**
PATENT ASSIGNEE(S): (HOFF) HOFFMANN LA ROCHE & CO AG F; (DVOR-I) DVORAK C A; (FISH-I) FISHER L E; (GREE-I) GREEN K L; (HARR-I) HARRIS R N; (MAAG-I) MAAG H; (PRIN-I) PRINCE A; (REPK-I) REPKE D B; (STAB-I) STABLER R S; (SYNT) SYNTEX USA LLC
COUNTRY COUNT: 92
PATENT INFORMATION:

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WO 2001090081   A1 20011129 (200214)* EN  100
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       MZ NL OA PT SD SE SL SZ TR TZ UG ZW
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       ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK
       LR LS LT LU LV MA MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG
       SI SK SL TJ TM TR TT UA UG UZ VN YU ZA ZW
US 2002004501   A1 20020110 (200214)
AU 2002010122   A  20011203 (200221)
EP 1289965      A1 20030312 (200320)  EN
    R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL
       PT RO SE SI TR
NO 2002005640   A  20030122 (200320)
KR 2003003763   A  20030110 (200333)
BR 2001011061   A  20030415 (200334)
CN 1430610      A  20030716 (200363)
HU 2003002010   A2 20030929 (200369)
JP 2003534330   W  20031118 (200401)      149
JP 2003534331   W  20031118 (200401)       94
US 6667301      B2 20031223 (200408)
MX 2002011418   A1 20030401 (200415)
CZ 2002004200   A3 20040114 (200429)
US 2004087581   A1 20040506 (200430)
ZA 2002009029   A  20040428 (200432)#     109
NZ 522411       A  20040528 (200437)
RU 2241702      C2 20041210 (200508)
IN 2002001889   P4 20050211 (200539)  EN
AU 782191       B2 20050707 (200551)
EP 1289965      B1 20051026 (200571)  EN
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       SE SI TR
DE 60114413     E  20051201 (200580)
DE 60106607     T2 20060209 (200611)
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APPLICATION DETAILS:

| PATENT NO | KIND | | APPLICATION | DATE |
|---|---|---|---|---|
| WO 2001090081 | A1 | | WO 2001-EP5584 | 20010516 |
| US 2002004501 | A1 | Provisional | US 2000-207483P | 20000525 |
| | | Provisional | US 2001-267579P | 20010209 |
| | | | US 2001-862286 | 20010522 |
| AU 2002010122 | A | | AU 2002-10122 | 20010516 |
| EP 1289965 | A1 | | EP 2001-980030 | 20010516 |
| | | | WO 2001-EP5584 | 20010516 |
| NO 2002005640 | A | | WO 2001-EP5584 | 20010516 |
| | | | NO 2002-5640 | 20021122 |
| KR 2003003763 | A | | KR 2002-715885 | 20021123 |
| BR 2001011061 | A | | BR 2001-11061 | 20010516 |
| | | | WO 2001-EP5584 | 20010516 |
| CN 1430610 | A | | CN 2001-810043 | 20010516 |
| HU 2003002010 | A2 | | WO 2001-EP5584 | 20010516 |
| | | | HU 2003-2010 | 20010516 |
| JP 2003534330 | W | | JP 2001-586270 | 20010516 |
| | | | WO 2001-EP5584 | 20010516 |
| JP 2003534331 | W | | JP 2001-586271 | 20010517 |
| | | | WO 2001-EP5631 | 20010517 |
| US 6667301 | B2 | Provisional | US 2000-207483P | 20000525 |

| | | | | |
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| | | Provisional | US 2001-267579P | 20010209 |
| | | | US 2001-862286 | 20010522 |
| MX 2002011418 | A1 | | WO 2001-EP5584 | 20010516 |
| | | | MX 2002-11418 | 20021119 |
| CZ 2002004200 | A3 | | WO 2001-EP5584 | 20010516 |
| | | | CZ 2002-4200 | 20010516 |
| US 2004087581 | A1 | Provisional | US 2000-207483P | 20000525 |
| | | Provisional | US 2001-267579P | 20010209 |
| | | Div ex | US 2001-862286 | 20010522 |
| | | | US 2003-685124 | 20031014 |
| ZA 2002009029 | A | | ZA 2002-9029 | 20021106 |
| NZ 522411 | A | | NZ 2001-522411 | 20010516 |
| | | | WO 2001-EP5584 | 20010516 |
| RU 2241702 | C2 | | WO 2001-EP5584 | 20010516 |
| | | | RU 2002-133208 | 20010516 |
| IN 2002001889 | P4 | | WO 2001-EP5584 | 20010516 |
| | | | IN 2002-CN1889 | 20021120 |
| AU 782191 | B2 | | AU 2002-10122 | 20010516 |
| EP 1289965 | B1 | | EP 2001-980030 | 20010516 |
| | | | WO 2001-EP5584 | 20010516 |
| DE 60114413 | E | | DE 2001-00114413 | 20010516 |
| | | | EP 2001-980030 | 20010516 |
| | | | WO 2001-EP5584 | 20010516 |
| DE 60106607 | T2 | | DE 2001-00106607 | 20010517 |
| | | | EP 2001-933980 | 20010517 |
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FILING DETAILS:

| PATENT NO | KIND | | PATENT NO |
|---|---|---|---|
| AU 2002010122 | A | Based on | WO 2001090081 |
| EP 1289965 | A1 | Based on | WO 2001090081 |
| BR 2001011061 | A | Based on | WO 2001090081 |
| HU 2003002010 | A2 | Based on | WO 2001090081 |
| JP 2003534330 | W | Based on | WO 2001090081 |
| JP 2003534331 | W | Based on | WO 2001090082 |
| MX 2002011418 | A1 | Based on | WO 2001090081 |
| CZ 2002004200 | A3 | Based on | WO 2001090081 |
| US 2004087581 | A1 | Div ex | US 6667301 |
| NZ 522411 | A | Based on | WO 2001090081 |
| RU 2241702 | C2 | Based on | WO 2001090081 |
| AU 782191 | B2 | Previous Publ. | AU 2002010122 |
| | | Based on | WO 2001090081 |
| EP 1289965 | B1 | Based on | WO 2001090081 |
| DE 60114413 | E | Based on | EP 1289965 |
| | | Based on | WO 2001090081 |
| DE 60106607 | T2 | Based on | EP 1289964 |
| | | Based on | WO 2001090082 |

PRIORITY APPLN. INFO: US 2001-267579P 20010209; US
2000-207483P 20000525; US
2001-862286 20010522; US
2001-267617P 20010209; US
2003-685124 20031014; ZA
2002-9029 20021106

AN 2002-106163 [14] WPIDS
CR 2002-114276 [15]
AB WO 200190081 A UPAB: 20060214

Searcher : Shears 571-272-2528

NOVELTY - Substituted 1-aminoalkyl-lactams (I) or their prodrugs, individual isomers, racemic or non-racemic mixtures of isomers, salts or solvates are new.

DETAILED DESCRIPTION - Substituted 1-aminoalkyl-lactams of formula (I) or their prodrugs, individual isomers, racemic or non-racemic mixtures of isomers, salts or solvates are new.

R1, R2 and R3 = H, halogen, 1-6C alkyl, -OR', -SR', -NR'R'', -SOR', -SO2R', -COOR', -OCOR', -OCONR'R'', -OSO2R', -OSO2NR'R'', -NR'SO2R'', -NR'COR'', -SO2NR'R'', -SO2(CH2)0-3CONR'R'', -CONR'R'', cyano, halogenalkyl or nitro;

CR1R2 = 5- to 7-membered aromatic, optionally saturated ring, optionally incorporating one or two ring heteroatoms selected from N, S(O)0-2 or O, and optionally substituted with 1-6C alkyl, halogen, cyano or lower alkoxy;

R' and R'' = H, optionally substituted 1-6C alkyl, 0-3C-alkyl-alkoxy, (hetero)aryl, heterocyclyl, aryl-(1-3C)-alkyl, heteroaryl-(1-3C)-alkyl, heterocyclyl-(1-3C)-alkyl or (cycloalkyl)alkyl;

NR'R'' = 5- to 7-membered ring, optionally incorporating one additional ring heteroatom selected from N, O or S(O)0-2;

R4 = 1-6C alkyl;

R5 = 1-6C alkyl, 1-6C alkenyl, 1-6C alkynyl or cycloalkyl;

X, Y and Z = -S-, -O-, -CH2-, -N-R6, -CH2-;

R6 = H, 1-6C alkyl, halogenalkyl, aryl-(1-6C)-alkyl, heteroaryl-(1-6C)-alkyl, -(1-6C)-CR'R'R', -COOR', -SO2R', -C(O)R', -SO2-(CH2)0-3-NR'R'', -CONR'R'', -C(O)OCH2OC(O)R', -C(O)O-CH2-S-C(O)-R' or -PO(OR')2;

m = 0 - 3; and

n = 1 - 6.

provided that one of X, Y and Z = -S-, -O-, -CH2- or -N-R6, the others are -CH2-.

An INDEPENDENT CLAIM is also included for the preparation of (I).

ACTIVITY - Antiinflammatory; Antidiarrheic; Analgesic; Antiasthmatic; Uropathic.

MECHANISM OF ACTION - Muscarinic M2/M3 receptor antagonist. 1-(4-((2-(3,3-dimethyl-2,3-dihydrobenzofuran-6-yl)-1-methylethyl)propyl-amino)butyl)-piperidine-2-one, hydrochloride salt (A) was tested for in vitro inhibitory activity using a method described in Hegde, S.S et al., Br. J. Pharmacol. 1997, 120 (1409-1418).. Cell membranes from Chinese hamster ovary cells expressing the recombinant human muscarinic receptors (m1 - m5) were employed. The assays were conducted with the radioligand (3H)N-methyl scopolamine (0.4 nM, specific activity 84 Ci.mmol-1) in a final volume of 0.25 ml Tris-Kreb buffer. Non-specific binding was defined with 1 micro M atropine. Assays were performed using scintillation proximity assay technology. The ratio of m2 and m3 for (A) showed pKi value of 1.2.

USE - In the manufacture of a medicament that is useful in the treatment or prevention of a disease state, which is alleviated with M2/M3 muscarinic antagonist and associated with smooth muscle disorders such as genitourinary or gastrointestinal tract and respiratory states (claimed). Genitourinary tract disorders includes overactive bladder or the symptoms usually manifested in detrusor hyperactivity and its symptoms such as changes symptomatically manifested as urgency, frequency, reduced bladder capacity, incontinence episodes; the changes urodynamically manifested as changes in bladder capacity, micurition threshold, unstable bladder contractions, sphinteric spasticity, detrusor hyperreflexia (neurogenic bladder), in conditions such as outlet obstruction, outlet

insufficiency, pelvic hypersensitivity or in idiopathic conditions such as detrusor instability. Gastrointestinal tract disorders includes irritable bowel syndrome, diverticular disease, achalasia, gastrointestinal hypermotility disorders and diarrhea. Respiratory tract disorders includes chronic obstructive pulmonary disease, asthma, pain and pulmonary fibrosis.

ADVANTAGE - (I) shows reduced side effects and is M2/M3 selective muscarinic receptor antagonist.

Dwg.0/0

L20 ANSWER 15 OF 19 EMBASE COPYRIGHT (c) 2006 Elsevier B.V. All rights reserved on STN

ACCESSION NUMBER: 92155524 EMBASE
DOCUMENT NUMBER: 1992155524
TITLE: Novel benzothiophene-, benzofuran-, and naphthalenecarboxamidotetrazoles as potential antiallergy agents.
AUTHOR: Connor D.T.; Cetenko W.A.; Mullican M.D.; Sorenson R.J.; Unangst P.C.; **Weikert R.J.**; Adolphson R.L.; Kennedy J.A.; Thueson D.O.; Wright C.D.; Conroy M.C.
CORPORATE SOURCE: Department of Chemistry, Parke-Davis Pharmaceutical Res. Div., Warner-Lambert Company, 2800 Plymouth Road,Ann Arbor, MI 48105, United States
SOURCE: Journal of Medicinal Chemistry, (1992) Vol. 35, No. 5, pp. 958-965. .
ISSN: 0022-2623 CODEN: JMCMAR
COUNTRY: United States
DOCUMENT TYPE: Journal; Conference Article
FILE SEGMENT: 026 Immunology, Serology and Transplantation
030 Pharmacology
037 Drug Literature Index
LANGUAGE: English
SUMMARY LANGUAGE: English
ENTRY DATE: Entered STN: 920621
Last Updated on STN: 920621

AB The synthesis and antiallergic activity of a series of novel benzothiophene-, benzofuran-, and naphthalenecarboxamidotetrazoles are described. A number of the compounds inhibit the release of histamine from anti-IgE stimulated basophils obtained from allergic donors. Optimal inhibition is exhibited in benzothiophenes with a 3-alkoxy substituent in combination with a 5-methoxy, 6-methoxy, or a 5,6-dimethoxy group. Compound 13c (CI-959) also inhibited respiratory burst of human neutrophils and the release of mediators from anti-IgE-stimulated human chopped lung.

L20 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 6

ACCESSION NUMBER: 1989:407305 CAPLUS
DOCUMENT NUMBER: 111:7305
TITLE: Novel indolecarboxamidotetrazoles as potential antiallergy agents
AUTHOR(S): Unangst, Paul C.; Connor, David T.; Stabler, S. Russell; **Weikert, Robert J.**; Carethers, Mary E.; Kennedy, John A.; Thueson, David O.; Chestnut, James C.; Adolphson, Richard L.; Conroy, M. C.
CORPORATE SOURCE: Dep. Chem., Parke-Davis Pharm. Res. Div., Ann Arbor, MI, 48105, USA
SOURCE: Journal of Medicinal Chemistry (1989), 32(6),

1360-6
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 111:7305
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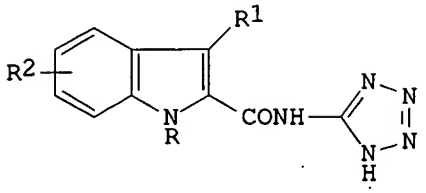

I

AB The synthesis and antiallergic potential of a series of novel indolecarboxamidotetrazoles I [R = Ph, H, 4-MeOC6H4, Me, CH2Ph; R1 = OH, OMe, OEt, OCHMe2, O(CH2)8Me, H, CHMe2, SMe, SO2Me, SCHMe2, SPh, OC6H4NO2-4; R2 = 4-, 5-, 6-OMe, 5-OH, 5-OCH2Ph, 5-Me, 5-Br, 5-Cl] is described. A number of compds. inhibit the release of histamine from anti-IgE-stimulated basophilic leukocyte obtained from allergic donors. Optimal inhibition is exhibited by compds. with 3-alkoxy, 5-methoxy, and 1-Ph substituents on the **indole** core structure. I (R = Ph, R1 = OCHMe2, R2 = 5-OMe), designated CI-949, is a potent inhibitor of histamine release from human basophils and from guinea pig and human chopped lung.

L20 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 7
ACCESSION NUMBER: 1988:131497 CAPLUS
DOCUMENT NUMBER: 108:131497
TITLE: Synthesis of novel 1-phenyl-1H-**indole**-2-carboxylic acids. I. Utilization of Ullmann and Dieckmann reactions for the preparation of 3-hydroxy, 3-alkoxy, and 3-alkyl derivatives
AUTHOR(S): Unangst, Paul C.; Connor, David T.; Stabler, S. Russell; **Weikert, Robert J.**
CORPORATE SOURCE: Dep. Chem., Warner-Lambert/Parke-Davis Pharm. Res., Ann Arbor, MI, 48105, USA
SOURCE: Journal of Heterocyclic Chemistry (1987), 24(3), 811-15
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 108:131497
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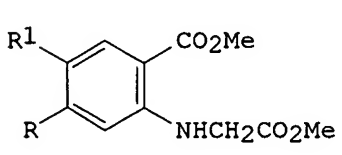

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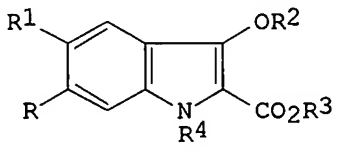

II

AB Methods for the synthesis of novel 3-hydroxy, 3-alkoxy, and 3-alkyl **indole**-2-carboxylic acids and esters are described. Dieckmann cyclization of various 2-[(carboxymethyl)amino]benzoic acid diesters yielded 1-unsubstituted-, 1-methyl-, and 1-phenyl-3-hydroxy-1H-**indole**-2-carboxylic acid esters. An Ullmann reaction with bromobenzene converted 1H-indoles to 1-phenylindoles. Thus, Dieckmann cyclization of benzoic acid diesters I (R = H, R1 = OMe, Br; R = R1 = Cl) gave **indole** esters II (R2 = H, R3 = Me, R4 = H), which on alkylation with Me2CHBr gave II (R2 = CHMe2). Ullmann reaction in PhBr as solvent and reagent converted II (R2 = CHMe2, R3 = Me, R4 = H) to II (R2 = CHMe2, R3 = Me, R4 = Ph) which upon saponification gave II (R2 = CHMe2, R3 = H, R4 = Ph).

L20 ANSWER 18 OF 19 SCISEARCH COPYRIGHT (c) 2006 The Thomson Corporation on STN

ACCESSION NUMBER: 1987:71806 SCISEARCH
THE GENUINE ARTICLE: F8679
TITLE: NOVEL **INDOLE** CARBAMOYLTETRAZOLES AS POTENTIAL ANTIALLERGY AGENTS
AUTHOR: UNANGST P C (Reprint); CONNOR D T; STABLER S R; **WEIKERT R J**; CARETHERS M E
CORPORATE SOURCE: WARNER LAMBERT PARKE DAVIS, PHARMACEUT RES, ANN ARBOR, MI 48105
COUNTRY OF AUTHOR: USA
SOURCE: ABSTRACTS OF PAPERS OF THE AMERICAN CHEMICAL SOCIETY, (7 SEP 1986) Vol. 192, pp. 62-MEDI.
ISSN: 0065-7727.
PUBLISHER: AMER CHEMICAL SOC, 1155 16TH ST, NW, WASHINGTON, DC 20036.
DOCUMENT TYPE: Conference; Journal
LANGUAGE: English
REFERENCE COUNT: 0
ENTRY DATE: Entered STN: 1994
Last Updated on STN: 1994

L20 ANSWER 19 OF 19 CONFSCI COPYRIGHT 2006 CSA on STN

ACCESSION NUMBER: 86:46332 CONFSCI
DOCUMENT NUMBER: 87001271
TITLE: Novel **indole** carbamoyltetrazoles as potential antiallergy agents
AUTHOR: Unangst, P.C.; Connor, D.T.; Stabler, S.R.; **Weikert, R.J.**; Carethers, M.E.
SOURCE: ACS Distribution Office, 210, 1155 16th Street, N.W., Washington, DC 20036 (USA). Telephone: (202) 872-4405, Contact specific authors of specific papers for copies of entire papers. ACS will publish a book of abstracts. Price: $34.00.
Meeting Info.: 863 0396: American Chemical Society 192nd Meeting (8630396). Anaheim, CA (USA). 7-12 Sep 1986. American Chemical Society (ACS).
DOCUMENT TYPE: Conference
FILE SEGMENT: DCCP
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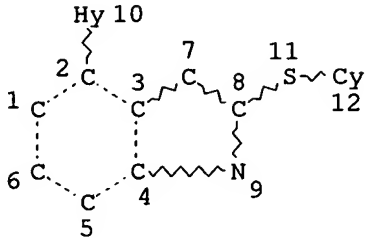


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DEFAULT ECLEVEL IS LIMITED

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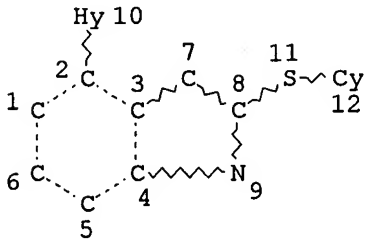
NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE
L2 34 SEA FILE=REGISTRY SSS FUL L1

100.0% PROCESSED 8713 ITERATIONS 34 ANSWERS
SEARCH TIME: 00.00.01

L7 STR



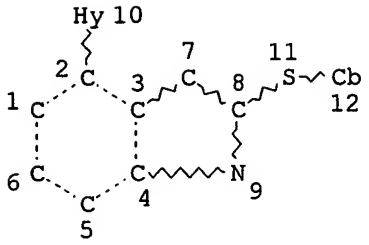

NODE ATTRIBUTES:
CONNECT IS X3 RC AT 7
DEFAULT MLEVEL IS ATOM
MLEVEL IS CLASS AT 10 12
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME:
ECLEVEL IS LIM ON ALL NODES
ALL RING(S) ARE ISOLATED

L9 105 SEA FILE=MARPAT SSS FUL L7 (MODIFIED ATTRIBUTES)
L10 STR




NODE ATTRIBUTES:
CONNECT IS X3 RC AT 7
DEFAULT MLEVEL IS ATOM
MLEVEL IS CLASS AT 10
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME:
ECLEVEL IS LIM ON ALL NODES
ALL RING(S) ARE ISOLATED

L11 29 SEA FILE=MARPAT SUB=L9 SSS FUL L10 (MODIFIED ATTRIBUTES)

100.0% PROCESSED 94 ITERATIONS 29 ANSWERS
SEARCH TIME: 00.00.01

(FILE 'REGISTRY' ENTERED AT 12:11:41 ON 15 MAR 2006)
DEL HIS Y
ACT WARDP6633/A
---
L1 STR
L2 34 SEA SSS FUL L1
---

FILE 'REGISTRY' ENTERED AT 12:12:10 ON 15 MAR 2006
D QUE STAT

FILE 'CAPLUS' ENTERED AT 12:12:10 ON 15 MAR 2006
L3 3 SEA ABB=ON PLU=ON L2
D 1-3 IBIB ABS HITSTR

FILE 'CAOLD' ENTERED AT 12:12:22 ON 15 MAR 2006
L4 0 SEA ABB=ON PLU=ON L2

FILE 'USPATFULL' ENTERED AT 12:12:40 ON 15 MAR 2006
L5 1 SEA ABB=ON PLU=ON L2
D IBIB ABS

FILE 'MEDLINE, BIOSIS, EMBASE' ENTERED AT 12:12:52 ON 15 MAR 2006

```
L6            0 SEA ABB=ON  PLU=ON  L2

     FILE 'MARPAT' ENTERED AT 12:12:57 ON 15 MAR 2006
L7               STR L1
L8             3 SEA SSS SAM L7 (MODIFIED ATTRIBUTES)
L9           105 SEA SSS FUL L7 (MODIFIED ATTRIBUTES)
L10              STR L7
L11           29 SEA SUB=L9 SSS FUL L10 (MODIFIED ATTRIBUTES)
                 D QUE STAT
                 D 1-29 .BEVMAR1

     FILE 'HOME' ENTERED AT 12:16:29 ON 15 MAR 2006
                 D QUE STAT L2
                 D QUE STAT L11
                 D COST

     FILE 'CAPLUS, MEDLINE, BIOSIS, EMBASE, WPIDS, CONFSCI, SCISEARCH,
     JICST-EPLUS, JAPIO' ENTERED AT 13:09:26 ON 15 MAR 2006
L12           95 SEA ABB=ON  PLU=ON  "MADERA A"?/AU
L13           89 SEA ABB=ON  PLU=ON  "WEIKERT R"?/AU
L14           17 SEA ABB=ON  PLU=ON  L12 AND L13
L15           15 SEA ABB=ON  PLU=ON  (L12 OR L13) AND INDOLE
L16           28 SEA ABB=ON  PLU=ON  L14 OR L15
L17           19 DUP REM L16 (9 DUPLICATES REMOVED)
                 D 1-19 IBIB ABS

     FILE 'HOME' ENTERED AT 13:11:09 ON 15 MAR 2006
                 D QUE STAT L2
                 D QUE STAT L11
                 D COST

     FILE 'CAPLUS, MEDLINE, BIOSIS, EMBASE, WPIDS, CONFSCI, SCISEARCH,
     JICST-EPLUS, JAPIO' ENTERED AT 13:16:41 ON 15 MAR 2006
L18           15 SEA ABB=ON  PLU=ON  (L12 OR L13) AND ?INDOLE
L19           28 SEA ABB=ON  PLU=ON  L14 OR L18
L20           19 DUP REM L19 (9 DUPLICATES REMOVED)
                 D L20 1-19 IBIB ABS

     FILE 'HOME' ENTERED AT 13:17:44 ON 15 MAR 2006
                 D QUE STAT L2
                 D QUE STAT L11


     FILE REGISTRY
     Property values tagged with IC are from the ZIC/VINITI data file
     provided by InfoChem.

     STRUCTURE FILE UPDATES:   14 MAR 2006  HIGHEST RN 876856-38-1
     DICTIONARY FILE UPDATES:  14 MAR 2006  HIGHEST RN 876856-38-1

     New CAS Information Use Policies, enter HELP USAGETERMS for details.

     TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

       Please note that search-term pricing does apply when
       conducting SmartSELECT searches.

     ************************************************************
     *                                                          *

                    Searcher    :     Shears     571-272-2528
```

* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*
**********

Structure search iteration limits have been increased. See HELP SLIMI for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

FILE CAPLUS



FILE COVERS 1907 - 15 Mar 2006 VOL 144 ISS 12
FILE LAST UPDATED: 14 Mar 2006 (20060314/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply They are available for your review at:

http://www.cas.org/infopolicy.html

FILE CAOLD
FILE COVERS 1907-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

FILE USPATFULL
FILE COVERS 1971 TO PATENT PUBLICATION DATE: 14 Mar 2006 (20060314/PD)
FILE LAST UPDATED: 14 Mar 2006 (20060314/ED)
HIGHEST GRANTED PATENT NUMBER: US7013485
HIGHEST APPLICATION PUBLICATION NUMBER: US2006053519

CA INDEXING IS CURRENT THROUGH 14 Mar 2006 (20060314/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 14 Mar 2006 (20060314/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2005
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Dec 2005

FILE MEDLINE
FILE LAST UPDATED: 14 MAR 2006 (20060314/UP). FILE COVERS 1950 TO DA

On December 11, 2005, the 2006 MeSH terms were loaded.

The MEDLINE reload for 2006 is now (26 Feb.) available. For details on the 2006 reload, enter HELP RLOAD at an arrow prompt (=>).
See also:

http://www.nlm.nih.gov/mesh/
http://www.nlm.nih.gov/pubs/techbull/nd04/nd04_mesh.html
http://www.nlm.nih.gov/pubs/techbull/nd05/nd05_med_data_changes.ht
http://www.nlm.nih.gov/pubs/techbull/nd05/nd05_2006_MeSH.html

OLDMEDLINE is covered back to 1950.

MEDLINE thesauri in the /CN, /CT, and /MN fields incorporate the MeSH 2006 vocabulary.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE BIOSIS
FILE COVERS 1969 TO DATE.
CAS REGISTRY NUMBERS AND CHEMICAL NAMES (CNs) PRESENT FROM JANUARY 1969 TO DATE.

RECORDS LAST ADDED: 9 March 2006 (20060309/ED)

FILE EMBASE
FILE COVERS 1974 TO 10 Mar 2006 (20060310/ED)

EMBASE has been reloaded. Enter HELP RLOAD for details.

The updates on February 20 and 24, 2006, were incomplete due to a technical problem. The problem has been corrected, and the missing records were included in the update on March 3, 2006. If you received SDI results from the original updates on February 20 and 24, you will automatically be credited for the update that was rerun on March 3.

If you have any questions, please contact your STN Service Center.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE MARPAT
FILE CONTENT: 1910-PRESENT VOL 144 ISS 11 (20060310/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1910-1987

MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES

(COVERAGE TO THESE DATES IS NOT COMPLETE):

| | | |
|---|---|---|
| US | 2006030554 | 09 FEB 2006 |
| DE | 102004053311 | 05 JAN 2006 |
| EP | 1609846 | 28 DEC 2005 |
| JP | 2006003337 | 05 JAN 2006 |
| WO | 2006012333 | 02 FEB 2006 |
| GB | 2415429 | 28 DEC 2005 |
| FR | 2873371 | 27 JAN 2006 |
| RU | 2266908 | 27 DEC 2005 |
| CA | 2495134 | 23 DEC 2005 |

Expanded G-group definition display now available.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

FILE HOME

FILE WPIDS
FILE LAST UPDATED: 10 MAR 2006 <20060310/UP>
MOST RECENT DERWENT UPDATE: 200617 <200617/DW>
DERWENT WORLD PATENTS INDEX SUBSCRIBER FILE, COVERS 1963 TO DATE

>>> FOR A COPY OF THE DERWENT WORLD PATENTS INDEX STN USER GUIDE,
PLEASE VISIT:
http://www.stn-international.de/training_center/patents/stn_guide.pdf

>>> FOR DETAILS OF THE PATENTS COVERED IN CURRENT UPDATES, SEE
http://scientific.thomson.com/support/patents/coverage/latestupdates/

>>> FOR INFORMATION ON ALL DERWENT WORLD PATENTS INDEX USER
GUIDES, PLEASE VISIT:
http://scientific.thomson.com/support/products/dwpi/

>>> FAST-ALERTING ACCESS TO NEWLY-PUBLISHED PATENT
DOCUMENTATION NOW AVAILABLE IN DERWENT WORLD PATENTS INDEX
FIRST VIEW - FILE WPIFV.
FOR FURTHER DETAILS:
http://scientific.thomson.com/support/products/dwpifv/

>>> THE CPI AND EPI MANUAL CODES WILL BE REVISED FROM UPDATE 200601.
PLEASE CHECK:
http://scientific.thomson.com/support/patents/dwpiref/reftools/classif

>>> PLEASE BE AWARE OF THE NEW IPC REFORM IN 2006, SEE
http://www.stn-international.de/stndatabases/details/ipc_reform.html
http://scientific.thomson.com/media/scpdf/ipcrdwpi.pdf <<<

FILE CONFSCI
FILE COVERS 1973 TO 25 May 2005 (20050525/ED)

CSA has suspended updates until further notice.

FILE SCISEARCH

FILE COVERS 1974 TO 9 Mar 2006 (20060309/ED)

SCISEARCH has been reloaded, see HELP RLOAD for details.

FILE JICST-EPLUS
FILE COVERS 1985 TO 13 MAR 2006 (20060313/ED)

THE JICST-EPLUS FILE HAS BEEN RELOADED TO REFLECT THE 1999 CONTROLLED TERM (/CT) THESAURUS RELOAD.

FILE JAPIO
FILE COVERS APR 1973 TO OCTOBER 27, 2005

>>> GRAPHIC IMAGES AVAILABLE <<<

>>> NEW IPC8 DATA AND FUNCTIONALITY NOT YET AVAILABLE IN THIS FILE. USE IPC7 FORMAT FOR SEARCHING THE IPC. WATCH THIS SPACE FOR FURTHE DEVELOPMENTS AND SEE OUR NEWS SECTION FOR FURTHER INFORMATION ABOUT THE IPC REFORM <<<

Searcher : Shears 571-272-2528